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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Apr 08	"Ask CAS" for self-help around the clock
NEWS 3	Jun 03	New e-mail delivery for search results now available
NEWS 4	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 5	Aug 19	Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN
NEWS 6	Aug 26	Sequence searching in REGISTRY enhanced
NEWS 7	Sep 03	JAPIO has been reloaded and enhanced
NEWS 8	Sep 16	Experimental properties added to the REGISTRY file
NEWS 9	Sep 16	CA Section Thesaurus available in CAPLUS and CA
NEWS 10	Oct 01	CASREACT Enriched with Reactions from 1907 to 1985
NEWS 11	Oct 24	BEILSTEIN adds new search fields
NEWS 12	Oct 24	Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 13	Nov 18	DKILIT has been renamed APOLLIT
NEWS 14	Nov 25	More calculated properties added to REGISTRY
NEWS 15	Dec 04	CSA files on STN
NEWS 16	Dec 17	PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS 17	Dec 17	TOXCENTER enhanced with additional content
NEWS 18	Dec 17	Adis Clinical Trials Insight now available on STN
NEWS 19	Jan 29	Simultaneous left and right truncation added to COMPENDEX, ENERGY, INSPEC
NEWS 20	Feb 13	CANCERLIT is no longer being updated
NEWS 21	Feb 24	METADEX enhancements
NEWS 22	Feb 24	PCTGEN now available on STN
NEWS 23	Feb 24	TEMA now available on STN
NEWS 24	Feb 26	NTIS now allows simultaneous left and right truncation
NEWS 25	Feb 26	PCTFULL now contains images
NEWS 26	Mar 04	SDI PACKAGE for monthly delivery of multifile SDI results
NEWS 27	Mar 20	EVENTLINE will be removed from STN
NEWS 28	Mar 24	PATDPAFULL now available on STN
NEWS 29	Mar 24	Additional information for trade-named substances without structures available in REGISTRY
NEWS 30	Apr 11	Display formats in DGENE enhanced
NEWS 31	Apr 14	MEDLINE Reload
NEWS 32	Apr 17	Polymer searching in REGISTRY enhanced
NEWS 33	Apr 21	Indexing from 1947 to 1956 being added to records in CA/CAPLUS
NEWS 34	Apr 21	New current-awareness alert (SDI) frequency in WPIDS/WPINDEX/WPIX
NEWS 35	Apr 28	RDISCLOSURE now available on STN
NEWS 36	May 05	Pharmacokinetic information and systematic chemical names added to PHAR
NEWS 37	May 15	MEDLINE file segment of TOXCENTER reloaded
NEWS 38	May 15	Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS 39	May 16	CHEMREACT will be removed from STN
NEWS 40	May 19	Simultaneous left and right truncation added to WSCA
NEWS 41	May 19	RAPRA enhanced with new search field, simultaneous left and right truncation

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT

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                MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
                AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
 NEWS HOURS     STN Operating Hours Plus Help Desk Availability
 NEWS INTER     General Internet Information
 NEWS LOGIN     Welcome Banner and News Items
 NEWS PHONE     Direct Dial and Telecommunication Network Access to STN
 NEWS WWW       CAS World Wide Web Site (general information)

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FILE 'HOME' ENTERED AT 10:20:03 ON 29 MAY 2003

=> fil reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.21       0.21

FILE 'REGISTRY' ENTERED AT 10:20:17 ON 29 MAY 2003
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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES:   28 MAY 2003  HIGHEST RN 521913-14-4
DICTIONARY FILE UPDATES:  28 MAY 2003  HIGHEST RN 521913-14-4

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10014959.str

L1      STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1              STR
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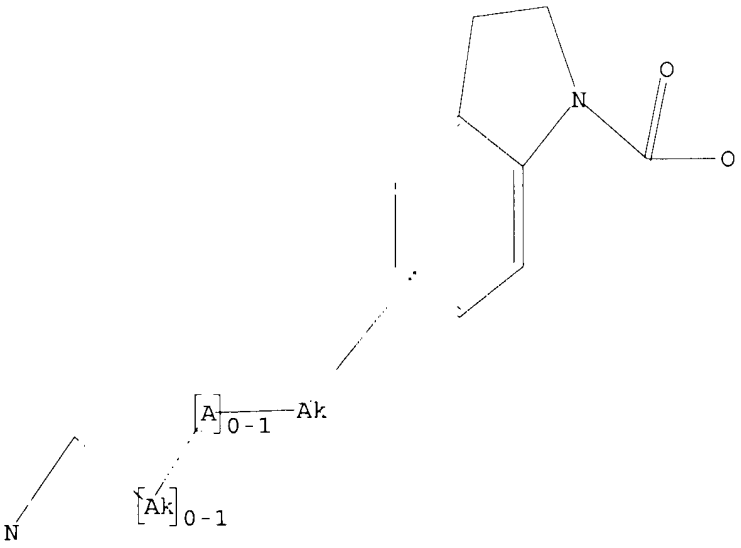


Structure attributes must be viewed using STN Express query preparation.

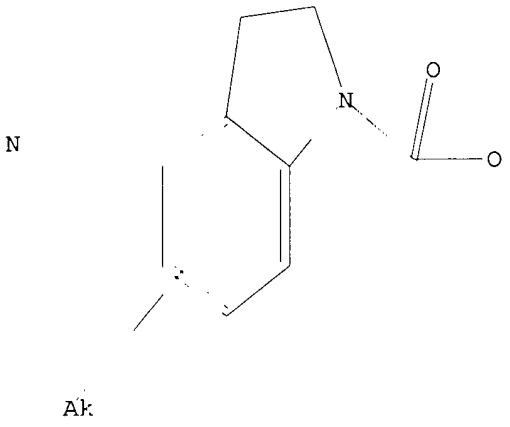
=> s l1 ful
GENERIC GROUP NOT VALID HERE
Generic groups may not be used in these circumstances:

1. Any generic group node (e.g., Hy) in a ring.
2. An Ak node attached to another Ak node.

=>
Uploading 10014959.str

L2 STRUCTURE UPLOADED

=> d
L2 HAS NO ANSWERS
L2 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l2 ful
FULL SEARCH INITIATED 10:21:19 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 56351 TO ITERATE

100.0% PROCESSED 56351 ITERATIONS 109 ANSWERS
SEARCH TIME: 00.00.02

L3 109 SEA SSS FUL L2

=> s l3 and caplus/lc
28007406 CAPLUS/LC
L4 106 L3 AND CAPLUS/LC

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	152.77	152.98

FILE 'CAPLUS' ENTERED AT 10:21:34 ON 29 MAY 2003
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FILE COVERS 1907 - 29 May 2003 VOL 138 ISS 22
FILE LAST UPDATED: 28 May 2003 (20030528/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l4
L5 43 L4

=> d 1-43 ibib abs hitstr

L5 ANSWER 1 OF 43 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2003:22860 CAPLUS
DOCUMENT NUMBER: 138:90077
TITLE: Preparation of peptoid compounds for treatment of bacterial infections
INVENTOR(S): Bremner, John; Pyne, Stephen; Keller, Paul; Coghlan, Ian; Garas, Adel; Witchard, Helen; Boyle, Tim; Coates, Jonathan
PATENT ASSIGNEE(S): University of Wollongong, Australia
SOURCE: PCT Int. Appl., 10. pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003002545	A1	20030109	WO 2002-AU850	20020628

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: AU 2001-6044 A 20010629
OTHER SOURCE(S): MARPAT 138:90077

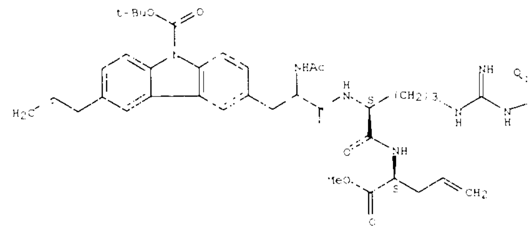
AB The invention relates to new peptoid compds. A-Zr(CHR1)sCR2R3CO6nNHCH4[CH2Wm(CH2)p-Y]CONHCR5R6(CH2)qCHR7CHR8aR8b [A is a (hetero)arom. ring system (or partially or fully reduced derivs.), which may be substituted by (cyclo)alkyl groups, a mono- or disaccharide moiety, or groups Xt-R9, where X = (CR10R11)x, NR12(CR10R11)x, (CR10R11)xNR12, O(CR10R11)x, (CR10R11)xO etc.; n, m = 1; t = 0 or 1; x, q = 0-4; R9 is H or forms a covalent bond with R8b; R10, R11 = H, OH, alkyl, aryl, alkoxy, an amino group; R12 = H, alkyl; Z = CR10R11, NR12, CO2, CONR12, or O; s = 0-3; R1, R2 = H, OH, alkyl, alkoxy, an amino or acylamino group; R3-R5 = H, alkyl, or side chains of .alpha.-amino acids; R6 = carboxy or phosphoryl or esters, carbamoyl, sulfonyl groups, etc.; R7, R8a = H or form a bond; B is an .alpha.- or .beta.-amino acid residue or an .alpha.,.alpha.-disubstituted amino acid residue; W is O or CR10R11; Y is an optionally substituted amino group or a moiety contg. an optionally substituted amino group; p = 0-6 (at least 1 when W is O)] for use in the treatment of bacterial infections such as those caused by vancomycin-resistant microorganisms. Thus, benzyl (R/S) N-[3-(3'-allyl-2,2'-dimethoxy-1,1'-binaphth-3-yl)-2-acetamidopropionyl]-.epsilon.-(tert-butoxycarbonyl)-L-lysyl-L-allylglycine benzyl ester was prepd. via peptide coupling reaction and showed MIC = 4 .mu.g/mL for against S. aureus.

IT **484024-05-7P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of peptoid compds. for treatment of bacterial infections)
RN 484024-05-7 CAPLUS
CN L-Norvaline, N-acetyl-3-[9-[(1,1-dimethylethoxy)carbonyl]-6-(2-propenyl)-

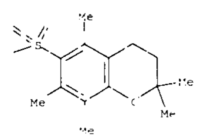
L5 ANSWER 1 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)
9H-carbazol-3-yl]alanyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-L-ornithyl-4,5-didehydro-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

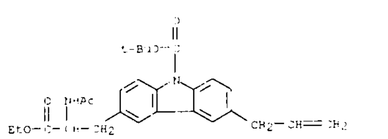


PAGE 1-B

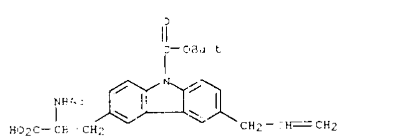


IT **433725-20-3P 433725-21-4P 484024-03-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of peptoid compds. for treatment of bacterial infections)
RN 433725-20-3 CAPLUS
CN 9H-Carbazole-3-propanoic acid, .alpha.-(acetylamino)-9-[(1,1-dimethylethoxy)carbonyl]-6-(2-propenyl)-, ethyl ester (9CI) (CA INDEX NAME)

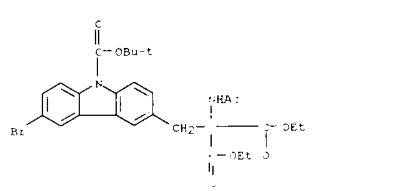
L5 ANSWER 1 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 433725-21-4 CAPLUS
CN 9H-Carbazole-3-propanoic acid, .alpha.-(acetylamino)-9-[(1,1-dimethylethoxy)carbonyl]-6-(2-propenyl)- (9CI) (CA INDEX NAME)

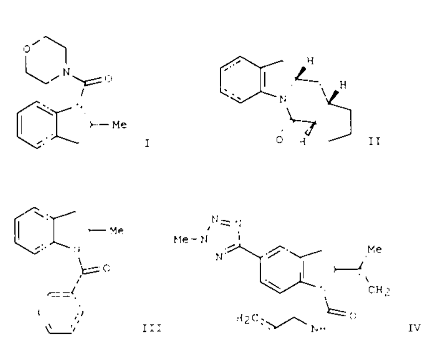


RN 484024-03-5 CAPLUS
CN Propanedioic acid, (acetylamino)[[6-bromo-9-[(1,1-dimethylethoxy)carbonyl]-9H-carbazol-3-yl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

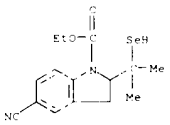
L5 ANSWER 2 OF 43 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2003:7897 CAPLUS
TITLE: Novel strategies for the solid phase synthesis of substituted indolines and indoles
AUTHOR(S): Nicolaou, K. C.; Roecker, A. J.; Hughes, Robert; van Summeren, Ruben; Pfefferkorn, Jeffrey A.; Winssinger, Nicolas
CORPORATE SOURCE: Department of Chemistry, The Scripps Research Institute, The Skaggs Institute for Chemical Biology, La Jolla, CA, 92037, USA
SOURCE: Bioorganic & Medicinal Chemistry (2003), 11(3), 465-476
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



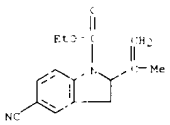
AB Using a polymer-bound selenenyl bromide resin, o-allyl and o-prenyl anilines were cycloloaded to afford a series of solid-supported indoline and indole scaffolds. These scaffolds were then functionalized and cleaved via four distinct methods, namely traceless redn., radical cyclization, radical rearrangement, and oxidative elimination, to afford 2-Me indolines (e.g. I), polycyclic indolines, e.g. II, 2-Me indoles, e.g. III, and 2-propenyl indolines (e.g. IV), resp. A no. of small combinatorial libraries of compds. reminiscent of certain designed ligands of biol. interest were constructed demonstrating the potential utility of the developed methodol. to chem. biol. studies and the drug discovery process.

IT **521099-13-8D**, solid-supported
RL: CPT (Combinatorial reactant); RCT (Reactant); CMBI (Combinatorial study); RACT (Reactant or reagent)
(novel strategies for the solid phase synthesis of substituted indolines and indoles)

L5 ANSWER 2 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 521098-91-9 CAPLUS
CN 1H-Indole-1-carboxylic acid, 5-cyano-2,3-dihydro-2-(1-methylethenyl)-, ethyl ester (9CI) (CA INDEX NAME)



IT **521098-91-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(novel strategies for the solid phase synthesis of substituted indolines and indoles)
RN 521098-91-9 CAPLUS
CN 1H-Indole-1-carboxylic acid, 5-cyano-2,3-dihydro-2-(1-methylethenyl)-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:907365 CAPLUS
DOCUMENT NUMBER: 138:44-7
TITLE: Preparation of cinnamide derivatives as KCNQ potassium channel modulators
INVENTOR(S): Wu, Yong-Jin; Sun, Li-Qiang; Chen, Jie; He, Huan; L'Heureux, Alexandre; Dextraze, Pierre; Paris, Jean-Paul; Kinney, Gene G.; Dworetzky, Steven I.; Hewawasam, Piyasena
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 186 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096858	A1	20021205	WO 2002-US17849	20020531

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: US 2001-294815P P 20010531
OTHER SOURCE(S): MARPAT 138:4407

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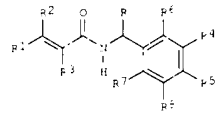

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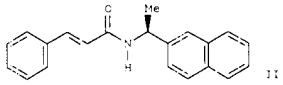
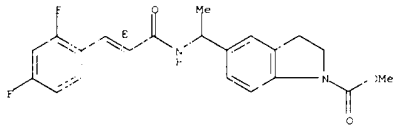


II

AB Title compds. I wherein R = alkyl or CF3; R1 = pyridinyl, quinolinyl,

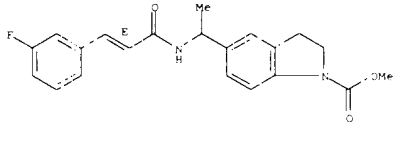
L5 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)
thienyl, furanyl, 1,4-benzodioxanyl, 1,3-benzodioxolyl, chromanyl, indanyl, biphenylyl, and (un)substituted Ph; R2 and R3 independently equal H, alkyl, or halo; R4 = dialkylamino, CF3O, (un)substituted-morpholin-4-yl, -pyridinyl, -pyrimidinyl, -piperazinyl, and -pyrazinyl; R5 = H, Cl, or F; or R4 and R5 taken together form aryl, heterocyclic or carbocyclic ring; R6, R7, and R8 = H, Cl, and F are prepd. and disclosed as openers of the KCNQ potassium channel. Thus, II was prepd. via amidation of cinnamic acid with (S)-1-(1-naphthyl)ethylamine. Compds. of the invention were evaluated at a single concn. and at a single holding potential (-40 mV). The effect of the selected compds. on KCNQ2 current were expressed as the percent of control current, e.g., II measured at 160 percent of control current at 5 μM concn. I are useful in the treatment of disorders which are responsive to the opening of the KCNQ potassium channels, e.g., migraine, convulsions, anxiety, etc..
IT **477309-04-9P 477309-06-1P 477309-08-3P**
477309-10-7P 477309-12-9P 477309-14-1P
477309-16-3P 477309-18-5P 477309-20-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of cinnamide derivs. as KCNQ potassium channel modulators)
RN 477309-04-9 CAPLUS
CN 1H-Indole-1-carboxylic acid, 5-[[-[[(2E)-3-(2,4-difluorophenyl)-1-oxo-2-propenyl]amino]ethyl]-2,3-dihydro-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



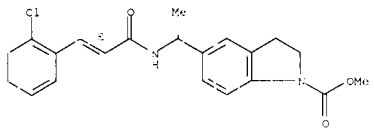
RN 477309-06-1 CAPLUS
CN 1H-Indole-1-carboxylic acid, 5-[1-[[(2E)-3-(3-fluorophenyl)-1-oxo-2-propenyl]amino]ethyl]-2,3-dihydro-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



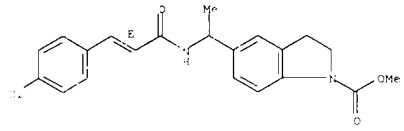
L5 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 477309-08-3 CAPLUS
CN 1H-Indole-1-carboxylic acid, 5-[1-[[(2E)-3-(2-chlorophenyl)-1-oxo-2-propenyl amino]ethyl]-2,3-dihydro-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



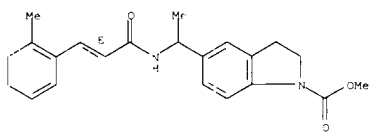
RN 477309-10-7 CAPLUS
CN 1H-Indole-1-carboxylic acid, 5-[1-[[(2E)-3-(4-chlorophenyl)-1-oxo-2-propenyl amino]ethyl]-2,3-dihydro-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



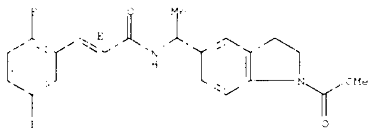
RN 477309-12-9 CAPLUS
CN 1H-Indole-1-carboxylic acid, 5-[1-[[(2E)-3-(2-methylphenyl)-1-oxo-2-propenyl]amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



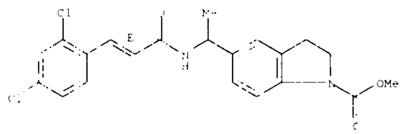
RN 477309-14-1 CAPLUS
CN 1H-Indole-1-carboxylic acid, 5-[1-[[(2E)-3-(2,5-difluorophenyl)-1-oxo-2-propenyl]amino]ethyl]-2,3-dihydro-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



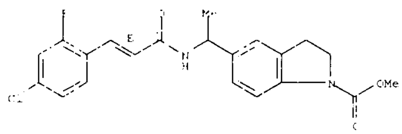
RN 477309-16-3 CAPLUS
CN 1H-Indole-1-carboxylic acid, 5-[1-[[(2E)-3-(2,4-dichlorophenyl)-1-oxo-2-propenyl]amino]ethyl]-2,3-dihydro-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 477309-18-5 CAPLUS
CN 1H-Indole-1-carboxylic acid,
5-[1-[[(2E)-3-(4-chloro-2-fluorophenyl)-1-oxo-
2-propenyl]amino]ethyl]-2,3-dihydro-, methyl ester (9CI) (CA INDEX NAME)

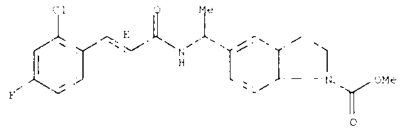
Double bond geometry as shown.



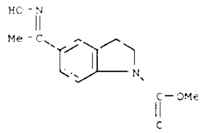
RN 477309-20-9 CAPLUS
CN 1H-Indole-1-carboxylic acid,
5-[1-[[(2E)-3-(2-chloro-4-fluorophenyl)-1-oxo-
2-propenyl]amino]ethyl]-2,3-dihydro-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

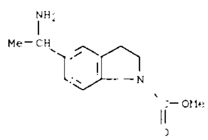
L5 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT **477312-29-1P 477312-31-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of cinnamamide derivs. as KCNQ potassium channel modulators)
RN 477312-29-1 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-5-[1-(hydroxyimino)ethyl]-, methyl ester (9CI) (CA INDEX NAME)

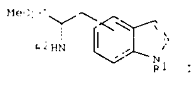


RN 477312-31-5 CAPLUS
CN 1H-Indole-1-carboxylic acid, 5-(1-aminoethyl)-2,3-dihydro-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

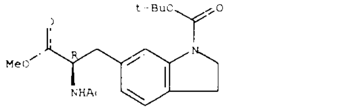
L5 ANSWER 4 OF 43 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:648518 CAPLUS
DOCUMENT NUMBER: 137:247893
TITLE: Catalytic Asymmetric Synthesis of Protected Tryptophan Regioisomers
AUTHOR(S): Carlier, Paul R.; Lam, Polo C. H.; Wong, Dawn M.
CORPORATE SOURCE: Department of Chemistry, Virginia Tech, Blacksburg, VA, 24061, USA
SOURCE: Journal of Organic Chemistry (2002), 67(17), 6256-6259
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB Tryptophan (Trp) is superior to all other naturally occurring peptide residues in its ability to bind cations (the cation-.pi. interaction). This work reports the catalytic asym. syntheses of protected Trp regioisomers I (R1 = H, Boc; R2 = MeCO, Cbz), where the alanine unit is attached to C-2, C-4, C-5, C-6, or C-7 of indole. Excellent asym. induction is obtained in each case (generally >97% enantiomeric excess). Ab initio calcns. suggest that the indole nuclei of I will bind Na+ with the same affinity as that of Trp.
IT **460096-41-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, for the detn. of enantiomeric excess of a protected tryptophan deriv.)
RN 460096-41-7 CAPLUS
CN 1H-Indole-6-propanoic acid, .alpha.-(acetylamino)-1-[(1,1-dimethylethoxy)carbonyl]-2,3-dihydro-, methyl ester, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

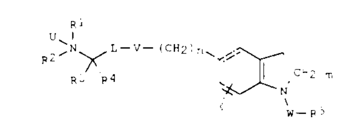
L5 ANSWER 5 OF 43 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:487544 CAPLUS
DOCUMENT NUMBER: 137:63179
TITLE: Preparation of dihydroindole and tetrahydroquinoline derivatives as inhibitors of 2,3-oxidosqualene-lanosterol cyclase
INVENTOR(S): Aebi, Johannes; Ackermann, Jean; Chucholowski, Alexander; Dehmlow, Henrietta; Morand, Olivier; Wallbaum, Sabine; Weller, Thomas; Panday, Narendra
PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050041	A1	20020627	WO 2001-EP14620	20011212

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002115	A1	2002	US 2001-14959	20011211
AU 2002019176	A5	200207	AU 2002-19176	20011212

PRIORITY APPLN. INFO.: EP 2000-128063 A 20001221
WO 2001-EP14620 W 20011212
OTHER SOURCE(S): MARPAT 137:63179
GI



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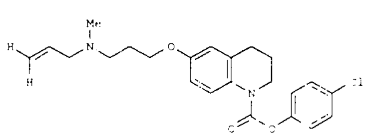
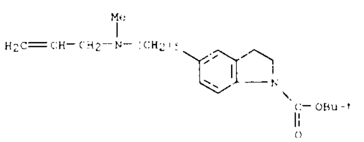


II

AB Title compds. I [U = O or lone pair; V = O, S, NR6, or CH2, and L =

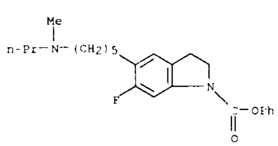
L5 ANSWER 5 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)
alkylene or alkenylene or V = CH-CH or -C.tplbond.C-, and L = alkylene or single bond; W = CO, CO2, CONR7, CSO, CSNR7, SO2, or SO2NR7; X = H or one or more optional halogen and/or alkyl substituents; m = 1-2; n = [illegible]; R1 = H, (un)substituted alkyl or alkenyl; R2 = (un)substituted cycloalkyl
cycloalkylalkyl, alkenyl, alkynyl, alkyl; R3 and R4 independently = H or alkyl or R1 and R2 or R1 and R3 are bonded to each other to form a ring and R1R2 or R1R3 are alkylene or alkenylene optionally substituted by R8, in which one -CH2- group of R1R2 or R1R3 can optionally be replaced by NR9, S, or O; R5 = (un)substituted cycloalkyl, cycloalkylalkyl, heterocycloalkyl, aryl arylalkyl, etc. R8 = OH, alkoxy, thioalkoxy, NR10R11, or (un)subsituted alkyl; R6, R7, R9, R10, R11 independently = H or alkyl. and their pharmaceutically acceptable salts and/or pharmaceutically acceptable esters thereof are prepd. and disclosed as agents for treatment of diseases assocd. with
2,3-oxidosqualene-lanosterol
cyclase (OSC). Thus, II was prepd. from 1,2,3,4-tetrahydroquinolin-6-ol via condensation with 4-chlorophenyl chloroformate, O alkylation with 1,3-dibromopropane and substitution with N-allylmethylamine. The preferred compds. of the present invention exhibit IC50 values of 1 nM to 10 .mu.M, preferably of 1-100 nM. OSC related diseases/disorders for which I are useful for treatment and/or prophylaxis of include, but are not limited to, hypercholesterolemia, hyperlipemia, arteriosclerosis, vascular diseases, mycosis, gallstones, tumors and/or hyperproliferative disorders, and treatment and/or prophylaxis of impaired glucose tolerance and diabetes.

IT **434959-59-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate, prepn. of dihydroindole and tetrahydroquinoline derivs. as inhibitors of 2,3-oxidosqualene-lanosterol cyclase)
RN 434959-59-8 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-5-[5-(methyl-2-propenylamino)pentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



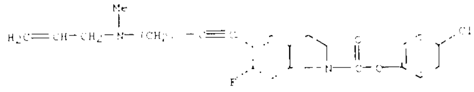
IT **439226-60-5P 439226-61-6P 439226-62-7P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(target compd.; prepn. of dihydroindole and tetrahydroquinoline derivs.
as inhibitors of 2,3-oxidosqualene-lanosterol cyclase)
RN 439226-60-5 CAPLUS
CN 1H-Indole-1-carboxylic acid, 6-fluoro-2,3-dihydro-5-[5-(methyl-2-propenylamino)-1-pentynyl]-, 4-chlorophenyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 5 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)

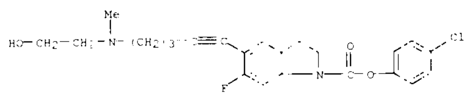


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

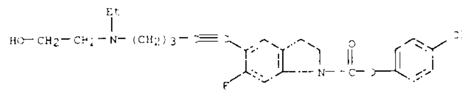
L5 ANSWER 5 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)



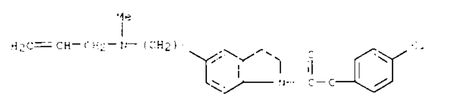
RN 439226-61-6 CAPLUS
CN 1H-Indole-1-carboxylic acid, 6-fluoro-2,3-dihydro-5-[5-[(2-hydroxyethyl)methylamino]-1-pentynyl]-, 4-chlorophenyl ester (9CI) (CA INDEX NAME)



RN 439226-62-7 CAPLUS
CN 1H-Indole-1-carboxylic acid,
5-[5-[ethyl(2-hydroxyethyl)amino]-1-pentynyl]-
6-fluoro-2,3-dihydro-, 4-chlorophenyl ester (9CI) (CA INDEX NAME)



IT **439223-50-4P 439226-22-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compd.; prepn. of dihydroindole and tetrahydroquinoline derivs.
as inhibitors of 2,3-oxidosqualene-lanosterol cyclase)
RN 439223-50-4 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-5-[5-(methyl-2-propenylamino)pentyl]-, 4-chlorophenyl ester (9CI) (CA INDEX NAME)



RN 439226-22-9 CAPLUS
CN 1H-Indole-1-carboxylic acid, 6-fluoro-2,3-dihydro-5-[5-(methylpropylamino)pentyl]-, phenyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 6 OF 43 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:[illegible] CAPLUS
DOCUMENT NUMBER: [illegible]
TITLE: Preparation of 5-(aminoalkynyl)-1-(halophenyl)-1H-indoles and 2,3-dihydroindoles and analogs as 2,3-oxidosqualene-lanosterol cyclase inhibitors for use as therapeutics, e.g., antihypercholesterolemics, antifungals, antihyperproliferatives, and antidiabetics
INVENTOR(S): Ackermann, Jean; Aebi, Johannes; Dehmlow, Henrietta; Morand, [illegible]; Panday, Narendra; Weller, Thomas
PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.
SOURCE: PCT Int. Appl., [illegible] pp.
CODEN: [illegible]
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: [illegible]
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002044149	A1	[illegible]	WO 2001-EP13553	20011121
W: AE, AG, AL, AM, AT, [illegible], AZ, BA, BB, [illegible], BR, BY, BZ, CA, CH, CN, CO, CR, CU, [illegible], DE, [illegible], DM, [illegible], EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, [illegible], [illegible], [illegible], [illegible], JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, [illegible], MA, [illegible], MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, [illegible], SE, [illegible], [illegible], SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, [illegible], [illegible]D, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, [illegible], [illegible], [illegible], GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002[illegible]247	A1	[illegible]	US 2001-[illegible]882	20011120
US 65[illegible]390[illegible]	B2	[illegible]		
AU 2002024870	A5	[illegible]	AU 2002-24870	20011121

PRIORITY APPLN. INFO.: EP 2000-125[illegible] A 20001128
WO 2001-EP13553 W 20011121

OTHER SOURCE(S): MARPAT [illegible]294
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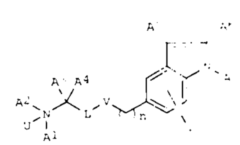

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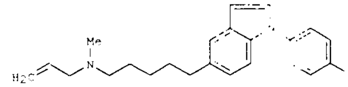


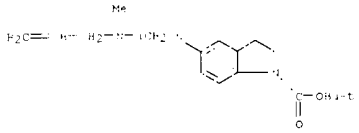
II

AB The invention relates to indole and dihydroindole derivs. I and their pharmaceutically acceptable salts and/or esters (wherein: dotted line = optional double bond; Y = N-oxide or lone pair; V = (al. O, S, NR1, or CH2, and L = lower alkylene or alkenylene, or -b) CH:CH or C tplbond.C, and L = lower alkylene or single bond; n = 0-7, X = H or -gtoreq., optional halo and/or lower alkyl; R1 = H, lower alkenyl, or lower alkyl optionally substituted by lower alkoxy or alkylthio, A2 = cycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, heterocyclyl, or lower alkyl optionally substituted by OH or lower alkoxy or alkylthio; R3, R4 = (in)dependently H, lower alkyl, or A1A, or A1A3 = lower alkylene or alkenylene, optionally substituted by R1, and in which one CH2 group can optionally be replaced by NR5, O, or S; R5 = H, lower alkyl; A7 = C2-alkyl, alkenyl, alkadienyl, cycloalkyl, cycloalkylalkyl, aryl, or arylalkyl; R2 = OH, alkoxy, alkylthio, NR4R5, or lower alkyl optionally substituted by OH; R1, R3, R4, R5 = H, lower alkyl) . The compds. are useful for the treatment and/or prophylaxis of diseases which are assocd. with 11.beta.-hydroxysteroid dehydrogenase (HSD), such as hypercortisolemia, hyperglycemia, atherosclerosis, vascular diseases, myopathies, osteoporosis, tumors and/or hyperproliferative disorders, and treatment and/or prophylaxis of impaired glucose tolerance and diabetes. A variety of 1, mostly as free bases, were prepd. and over 20 compds. were claimed individually. For instance, 5-benzyloxy indole was N-alkylated with BrCH2CH2CH2OH and debenzylated (H2, Pd/C), and the resulting phenolic alc. was converted to the triflate (CF3SO2)2O, pyridine and alkynylated with 4-pentyn-1-ol, Pd(PPh3)4, CuI, iodide, piperidine]. The resulting heteroatom-alkynol was hydrogenated (PtO2), converted to the mesylate (MeSO2Cl, Et3N), and coupled with N-allylmethylamine (IM) to give claimed title compd. II. In a test for inhibition of human 11.beta.-hydroxysteroid dehydrogenase (HSD, preferred compds. among 1 had IC50 values of 1-10 nM.

IT **434959-59-8P** 5-[5-(Allylmethylamino)pentyl]-2,3-dihydroindole-1-carboxylic acid tert-butyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate prepn. of (arylalkoxy)(halophenyl)indole and -dihydroindole derivs. as 11.beta.-hydroxysteroid dehydrogenase-1 inhibitors)

RN 434959-59-8 CAPLUS

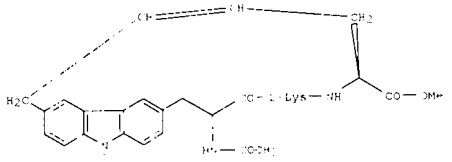
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-5-[5-(methyl-2-propenylamino)pentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS

ACCESSION NUMBER: 2002:241128 CAPLUS
DOCUMENT NUMBER: 136:63861
TITLE: The synthesis of a novel carbazole-linked cyclic peptoid with antibacterial activity
AUTHOR(S): Stanner, John B.; Coates, Jonathan A.; Keller, Paul A.; Kyne, Stephen G.; Kitchard, Helen M.
CORPORATE SOURCE: Department of Chemistry, University of Wollongong, Wollongong, 2522, Australia
SOURCE: Biopept. 2002), (2), 226-227
CODEN: PIMIES, ISSN: 956-5248
PUBLISHER: Verlag Helvetica Chimica Acta
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:63861

GI

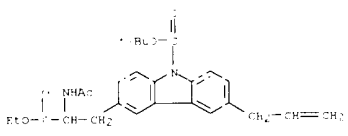


AB This paper describes the synthesis of a novel cyclic peptoid (I.HCl), which contains a tripeptide moiety linked through a carbazole scaffold. In a key step, a ring-closing metathesis reaction was used to give efficient access to a new class of cyclic peptoids.

IT **433725-20-3P 433725-21-4P 433725-22-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of carbazole-linked cyclic peptoid with antibacterial activity for treatment of vancomycin resistant Gram-pos. organisms)

RN 433725-20-3 CAPLUS

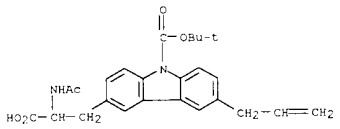
CN 9H-Carbazole-3-propanoic acid, .alpha.-(acetylamino)-9-[(1,1-dimethylethoxy)carbonyl]-6-(2-propenyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 433725-21-4 CAPLUS

FORMAT

CN 9H-Carbazole-3-propanoic acid, .alpha.-(acetylamino)-9-[(1,1-dimethylethoxy)carbonyl]-6-(2-propenyl)- (9CI) (CA INDEX NAME)

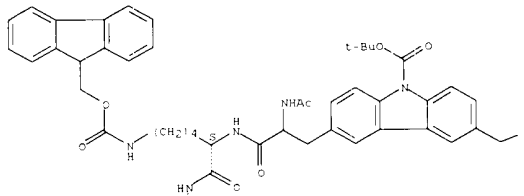


RN 433725-22-5 CAPLUS

CN L-Norvaline, N-acetyl-3-[9-[(1,1-dimethylethoxy)carbonyl]-6-(2-propenyl)-9H-carbazol-3-yl]alanyl-N6-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-lysyl-4,5-didehydro-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ACCESSION NUMBER: 2000:425615 CAPLUS

DOCUMENT NUMBER: 133:9467

TITLE: Palladium-catalyzed tandem cyclization anion capture. Part 8: Cascade hydrostannylation-cyclization anion capture and cascade hydroboration-cyclization-anion capture on solid phase

AUTHOR(S): Grigg, Ronald; MacLachlan, William S.; MacPherson, David T.; Sridharan, Visuvanathan; Suganthan, S.; Wattanam

CORPORATE SOURCE: School of Chemistry, Molecular Innovation, Diversity and Automated Synthesis (MIDAS: Centre, University of Leeds, Leeds, LS2 9JT, UK

SOURCE: Tetrahedron (2000), 56(32), 10335-10345

CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

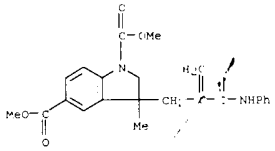
LANGUAGE: English

AB Up to four bonds and three stereocenters are created, in five component processes (five point diversity), utilizing resin bound aryl iodides by hydroboration or hydrostannylation of alkynes, followed by cyclization-anion capture involving Suzuki, or Stille reactions. Three small libraries were prepd. to validate the chem.

IT **411233-64-2P 411233-65-3P 411233-66-4P**
411233-67-5P 411233-71-1P 411233-76-6P
411233-78-8P 411233-81-3P 411233-84-6P
411233-89-1P 411233-90-4P
RL: CMB (Combinatorial library); CMBI (Combinatorial study); PREP (Preparation)
(cascade hydrostannylation-cyclization-anion capture and cascade hydroboration-cyclization-anion capture on solid phase)

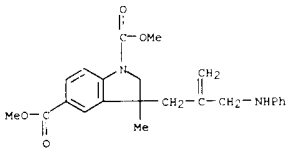
RN 411233-64-2 CAPLUS

CN 1H-Indole-1,5-dicarboxylic acid, 2,3-dihydro-3-methyl-3-[2-[(phenylamino)carbonyl]-2-propenyl]-, dimethyl ester (9CI) (CA INDEX NAME)



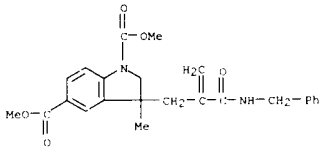
RN 411233-65-3 CAPLUS

CN 1H-Indole-1,5-dicarboxylic acid, 2,3-dihydro-3-methyl-3-[2-[(phenylamino)methyl]-2-propenyl]-, dimethyl ester (9CI) (CA INDEX NAME)



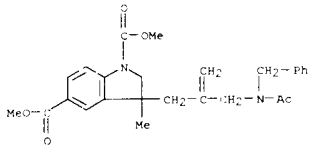
RN 411233-66-4 CAPLUS

CN 1H-Indole-1,5-dicarboxylic acid, 2,3-dihydro-3-methyl-3-[2-[[(phenylmethyl)amino]carbonyl]-2-propenyl]-, dimethyl ester (9CI) (CA INDEX NAME)



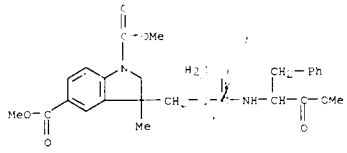
RN 411233-67-5 CAPLUS

CN 1H-Indole-1,5-dicarboxylic acid, 3-[2-[[acetyl(phenylmethyl)amino]methyl]-2-propenyl]-2,3-dihydro-3-methyl-, dimethyl ester (9CI) (CA INDEX NAME)



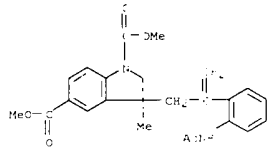
RN 411233-71-1 CAPLUS

CN 1H-Indole-1,5-dicarboxylic acid, 2,3-dihydro-3-[2-[[2-methoxy-2-oxo-1-(phenylmethyl)ethyl]amino]carbonyl]-2-propenyl]-3-methyl-, dimethyl ester (9CI) (CA INDEX NAME)



RN 411233-76-6 CAPLUS

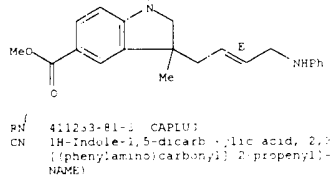
CN 1H-Indole-1,5-dicarboxylic acid, 3-[2-[2-(acetylamino)phenyl]-2-propenyl]-2,3-dihydro-3-methyl-, dimethyl ester (9CI) (CA INDEX NAME)



RN 411233-78-8 CAPLUS

CN 1H-Indole-1,5-dicarboxylic acid, 2,3-dihydro-3-methyl-3-[(2E)-4-(phenylamino)-2-buten-1-yl]-, dimethyl ester (9CI) (CA INDEX NAME)

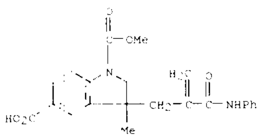
Double bond geometry as shown.



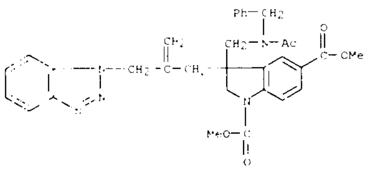
RN 411233-81-3 CAPLUS

CN 1H-Indole-1,5-dicarboxylic acid, 2,3-dihydro-3-methyl-3-[2-[(phenylamino)carbonyl]-2-propenyl]-, 1 methyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)

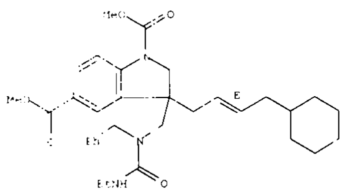


RN 3.1.3-54-6 CAPLUS
CN 1H-Indole-1,5-dicarboxylic acid, 3-[[acetyl(phenylmethyl)amino]methyl]-3-[2-[(1H-benzotriazol-1-ylmethyl)-2-propenyl]-2,3-dihydro-, dimethyl ester (9CI) (CA INDEX NAME)



RN 363154-59-1 CAPLUS
CN 1H-Indole-1,5-dicarboxylic acid, 3-[(2E)-4-cyclohexyl-2-butenyl]-3-[[[(ethylamino)carbonyl](phenylmethyl)amino]methyl]-2,3-dihydro-, dimethyl ester (9CI) (CA INDEX NAME)

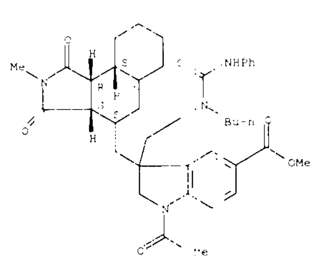
Double bond geometry as shown.



RN 3.1.3-90-4 CAPLUS
CN 1H-Indole-1,5-dicarboxylic acid, 3-[[[(phenylamino)carbonyl]amino]methyl]-3-[[(3aR,4R,9aR,9bS)-2,3,3a,4,6,7,8,9,9a,9b-decahydro-2-methyl-1,3-dioxo-1H-benz[e]isoindol-4-yl]methyl]-2,3-dihydro-, dimethyl ester, rel-

L5 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)
(9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

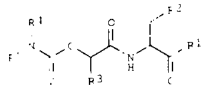
L5 ANSWER 9 OF 43 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:720702 CAPLUS
DOCUMENT NUMBER: 135:273216
TITLE: Preparation of carbamate caspase inhibitors
INVENTOR(S): Bebbington, David; Charrier, Jean-Damien; Kay, David; Knegtel, Ronald; Golec, Julian; Mortimore, Michael; Studley, John
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001072707	A2	20011004	WO 2001-US10182	20010329
WO 2001072707	A3	20020523		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002028803	A1	20020307	US 2001-821161	20010329
EP 1268425	A2	20030102	EP 2001-922868	20010329
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001009588	A	20030204	BR 2001-9588	20010329
NO 2002004661	A	20021126	NO 2002-4661	20020927

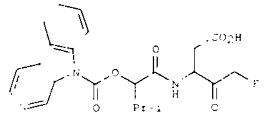
PRIORITY APPLN. INFO.: US 2000-192826P P 20000329; WO 2001-US10182 W 20010329

OTHER SOURCE(S): MARPAT 135:273216

GI



I



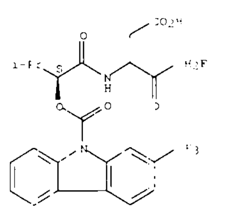
II

AB Carbamate derivs. I [Z is O, S; R1 is H, CHN2, R; R is C1-12 aliph., aryl, aralkyl, heterocyclyl, or heterocyclylalkyl), CH2OR, CH2SR, or CH2Y (Y is an electroneg. leaving group); R2 is CO2H, CH2CO2H or esters, amides or isosteres; R3 is a gp. capable of fitting into the S2 subsite of a caspase enzyme; R4R5N is a mono-, bi- or tricyclic heterocyclic ring system] were prepd. as caspase inhibitors. The compds. are effective inhibitors of apoptosis and IL-1.beta. secretion. Thus, compd. II was prepd. by amidation of (S)-3-methyl-2-(carbazole)carbamoyloxybutyric acid (prepn. given) with 3-amino-5-fluoro-4-hydroxypentanoic acid tert-Bu ester, followed by oxidn. of the hydroxy group using Dess-Martin periodinane and ester cleavage

IT **363154-90-9P 363154-92-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of carbamate caspase inhibitors)

RN 363154-90-9 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, 2-(trifluoromethyl)-, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

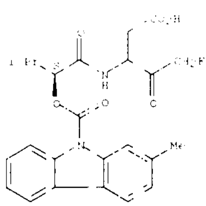
Absolute stereochemistry.



RN 363154-92-1 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, 2-methyl-, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

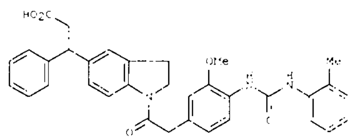
L5 ANSWER 9 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)



L5 ANSWER 10 OF 43 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:661389 CAPLUS
DOCUMENT NUMBER: 135:210534
TITLE: Preparation of dihydro-indolinyl-alkanoic acids and their use as cell adhesion inhibitors
INVENTOR(S): Harris, Neil Victor; Fenton, Garry
PATENT ASSIGNEE(S): Aventis Pharma Ltd., UK
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE
WO 2001064640 A1 20010907 WO 2001-GB865 20010301
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, US, US
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: GB 2000-4[illegible] A 20000301
GB 2000-4[illegible]4 A 20000301
GB 2000-4[illegible] A 20000301
GB 2000-4[illegible] A 20000301
GB 2000-6[illegible] A 20000322
GB 2000-6[illegible] A 20000322

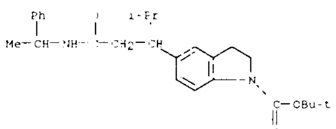
GI



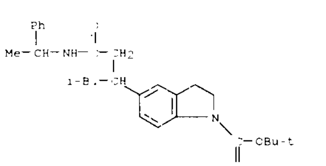
I

AB Title compds. 2,3-dihydro-1H-indolinylalkanoic acids, e.g., I were prepd.
Several synthetic examples were provided. For instance, 5-bromo-1-Boc-2,3-dihydro-1H-indole (prepn. given) was coupled to Et cinnamate to give the corresponding propenoate intermediate (Pd(OAc)2, (o-tolyl)3P, n-Bu3N, DMF, 140 degree C, 16 h). The intermediate was reduced (H2, 10% Pd-C, EtOH, 20 degree C, 18 h) and the resulting propionate resolved by chiral HPLC for the enantiomeric synthesis. The intermediate was then deprotected to the indole (TFA, DCM, room temp.) and coupled to 3-methoxy-4-(N'-o-tolylureido)phenylacetic acid (DMF, HATU, iPr2NEt2) to yield the the Et ester of I which was converted to carboxylic

L5 ANSWER 10 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)
acid I (NaOH, EtOH, reflux, 1.5 h). Title compds. regulate the interaction of VCAM-1 with integrin receptor .alpha.4.beta.1. In an assay of cell adhesion to fibronectin, IC50 for an enantiomer of I = 0.4 nM and for the racemate of I, IC50 = 3.2 nM. Treatment of inflammation and asthma are claimed uses of the invention.
IT **358376-90-6P 358376-91-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of dihydro-indolinyl-alkanoic acids and their use as cell adhesion inhibitors)
RN 358376-90-6 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-5-[1-(1-methylethyl)-3-oxo-3-[(1-phenylethyl)amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 358376-91-7 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-5-[3-methyl-1-[2-oxo-2-[(1-phenylethyl)amino]ethyl]butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



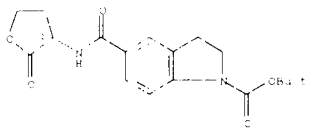
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 43 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:338325 CAPLUS
DOCUMENT NUMBER: 134:353248
TITLE: Novel heterocyclic compounds and their use as medicines
INVENTOR(S): Auvin, Serge; Chabrier De Lassauniere, Pierre-Etienne
PATENT ASSIGNEE(S): Societe De Conseils De Recherches Et D'applications Scientifiques (S.C.R.A.S.), Fr.
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE
WO 2001032654 A1 20010510 WO 2000-FR3067 20001103
WO 2001032654 A3 20010927
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
FR 2800737 A1 20010511 FR 1999-13858 19991105
FR 2809398 A1 20011130 FR 2000-6535 20000523
FR 2809398 B1 20020726
BR 2000015315 A 20020625 BR 2000-15315 20001103
EP 1233962 A2 20020828 EP 2000-974646 20001103
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
JP 2003513092 T2 20030408 JP 2001-534805 20001103
NO 2002002018 A 20020702 NO 2002-2018 20020502
PRIORITY APPLN. INFO.: FR 1999-13858 A 19991105
FR 2000-6535 A 20000523
WO 2000-FR3067 W 20001103
OTHER SOURCE(S): MARPAT 134:353248
AB Novel heterocyclic derivs. which have calpain inhibiting and/or reactive oxygen species trapping activity (no data) are reported. Thus, (R)-Trolox was treated with (S)-2-aminobutyrolactone hydrochloride, followed by DIBAL redn. to give (2R)-6-hydroxy-N-[(3S)-2-hydroxytetrahydrofuran-3-yl]-2,5,7,8-tetramethyl-3,4-dihydro-2H-chromene-2-carboxamide.
IT **339007-89-5P 339007-90-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of novel heterocyclic compds. as calpain inhibitors and trapping agents for reactive oxygen species)
RN 339007-89-5 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-5-[[[(3S)-tetrahydro-2-oxo-3-furanyl]amino]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

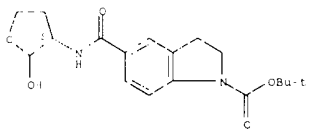
Absolute stereochemistry.

L5 ANSWER 11 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)

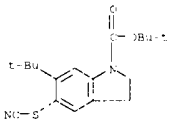


RN 396071-04-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 2,3-dihydro-3-[[3-hydroxy-4-[[(1,1-dimethylethoxy)carbonyl]amino]-2-oxo-5-(phenylmethoxy)-1-pyrrolidinyl]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 12 OF 43 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:139953 CAPLUS
DOCUMENT NUMBER: 134:163964
TITLE: Synthesis of Heterocyclic Thiosulfonates
AUTHOR(S): Prasad, J. V. N. Vara
CORPORATE SOURCE: Department of Chemistry, Parke-Davis Pharmaceutical Research Division of Warner-Lambert Company, 2800 Plymouth Road, Ann Arbor, MI, 48105-2430, USA
SOURCE: Organic Letters (2001), 3(3), 429-432
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A simple synthesis of heterocyclic thiosulfonates containing indole, indoline, benzimidazole, and quinoxaline rings is described. The synthesis of these thiosulfonates involves the prepn. of the appropriately substituted thiols followed by sulfonylation to give the thiosulfonates. The corresponding thiols were prepd. in a simple and efficient manner by using a thionation reaction either prior to heterocycle ring formation or after heterocycle ring formation. These thiosulfonates were coupled successfully to the 5,6-dihydro-2-pyrazone ring to give products that showed excellent HIV protease activity. Biol. test data for the compds. thus prepd. were also presented. Examples of the target compds. thus prepd. are 4-methylbenzenesulfonothioic acid S-[6-(1,1-dimethylethyl)-1H-benzimidazol-5-yl] ester and 4-methylbenzenesulfonothioic acid S-[2,3-dihydro-6-(4-methylethyl-2-oxo-1H-benzimidazol-5-yl ester and 6-(1,1-dimethylethyl)-3-[(4-methylphenyl)sulfonyl thio]-1H-indole-2-carboxylic acid Et ester.
IT **267646-25-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of heterocyclic thiosulfonates)
RN 267646-25-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 6-(1,1-dimethylethyl)-2,3-dihydro-5-thiocyanato-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

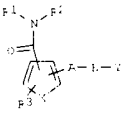
L5 ANSWER 13 OF 43 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:304328 CAPLUS
DOCUMENT NUMBER: 129:67773
TITLE: Preparation of benzamide derivatives having a vasopressin antagonistic activity
INVENTOR(S): Setoi, Hiroyuki; Ohkawa, Takehiko; Zenkoh, Tatsuya; Sawada, Hitoshi; Sawada, Yuki; Oku, Teruo
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan; Setoi, Hiroyuki; Ohkawa, Takehiko; Zenkoh, Tatsuya; Sawada, Hitoshi; Sawada, Yuki; Oku, Teruo
SOURCE: PCT Int. Appl., 342 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMIL. ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9806711	A1	19980219	WO 1997-JP2792	19970811
W: AU, CA, CN, HU, ID, JP, KR, MX, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9738672	A1	19980309	AU 1997-38672	19970811
EP 949251	A1	19991006	EP 1997-912493	19970811
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2001515193	T2	20010417	JP 1998-521229	19970811
US 6211363	B1	20010327	US 1999-308662	19990602
US 6316642	B1	20011113	US 2000-614132	20000711

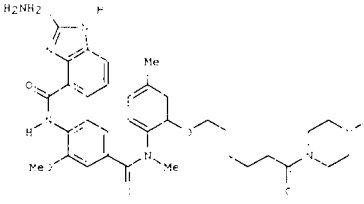
PRIORITY APPLN. INFO.: AU 1996-3953 A 19961202
WO 1997-JP4192 W 19971118
US 1999-308662 A1 19990602

OTHER SOURCE(S): MARPAT 129:67773
GI

L5 ANSWER 13 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)



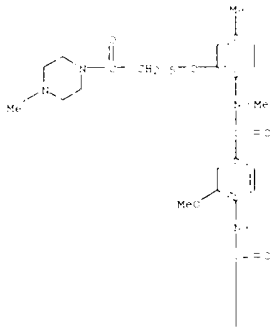
I



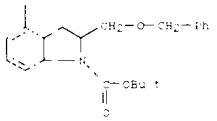
II

AB The title compds. I [R1 = (un)substituted aryl, cycloalkenylalkyl, heterocyclyl; R2 = H, lower alkyl, etc.; R3 = H, halo, OH, etc.; A = a single bond, O, NH; B = lower alkylene, lower alkenylene, etc.; X = CH:CH, N; Y = (un)substituted aryl, condensed heterocyclyl, etc.] and their pharmaceutically acceptable salts, useful in treatment and/or prevention of hypertension, heart failure, renal insufficiency, edema, ascites, inappropriate vasopressin parasecretion syndrome, hepatocirrhosis, hyponatremia, hypokalemia, diabetic, circulation disorder, cerebrovascular disease, Meniere's disease or motion sickness, were prepd. Thus, the title compd. II showed IC50 of 1.5 nM against vasopressin 1 receptor binding.
IT **208768-85-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of benzamide derivs. having a vasopressin antagonistic activity)
RN 208768-85-8 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-4-[[2-methoxy-4-[[methyl[1-methyl-2-[6-[4-methyl-1-piperazinyl]-6-oxohexyl]oxy]phenyl]amino]carbonyl]phenyl]amino]carbonyl]-2-[(phenylmethoxy)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



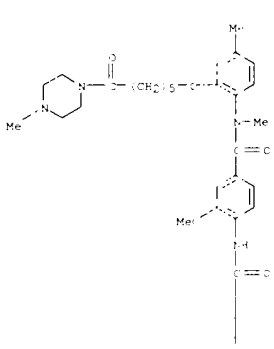
PAGE 2-A



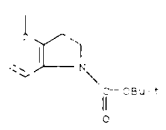
IT **208768-83-6P 208768-84-7P 208768-90-5P**
208770-02-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzamide derivs. having a vasopressin antagonistic activity)

RN 208768-83-6 CAPLUS
CN 1H-Indole-1,2-dicarboxylic acid, 2,3-dihydro-4-[[[2-methoxy-4-[[methyl[4-methyl-2-[[6-(4-methyl-1-piperazinyl)-6-oxohexyl]oxy]phenyl]amino]carbonyl]phenyl]amino]carbonyl]-, 1-(1,1-dimethylethyl) 2-ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

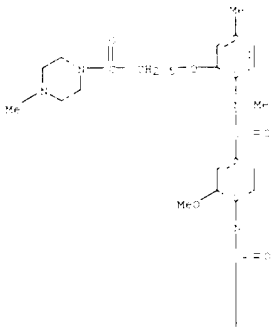


PAGE 2-A

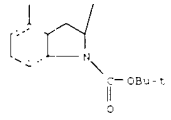


RN 208768-90-5 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-6-[[[2-methoxy-4-[[methyl[4-methyl-2-[[6-(4-methyl-1-piperazinyl)-6-oxohexyl]oxy]phenyl]amino]carbonyl]phenyl]amino]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

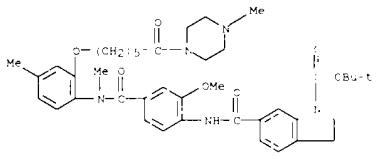
PAGE 1-A



PAGE 2-A

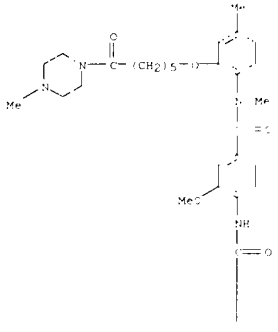


RN 208768-84-7 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-4-[[[2-methoxy-4-[[methyl[4-methyl-2-[[6-(4-methyl-1-piperazinyl)-6-oxohexyl]oxy]phenyl]amino]carbonyl]phenyl]amino]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

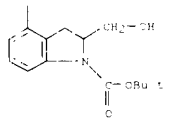


RN 208770-02-9 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-2-(hydroxymethyl)-4-[[[2-methoxy-4-[[methyl[4-methyl-2-[[6-(4-methyl-1-piperazinyl)-6-oxohexyl]oxy]phenyl]amino]carbonyl]phenyl]amino]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



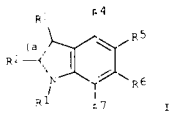
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

ACCESSION NUMBER: 1998:88851 CAPLUS
DOCUMENT NUMBER: 128:54373
TITLE: Preparation of 2-imidazolinylaminoindoles as alpha-2 adrenoceptor agonists
INVENTOR(S): Henry, Raymond Todd; Sheldon, Russell James; Seifel, William Lee
PATENT ASSIGNEE(S): Procter & Gamble Company, USA; Henry, Raymond Todd; Sheldon, Russell James; Seifel, William Lee
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9802410	A1	19980122	WO 1997-US20801	19971121
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9851380	A1	19980206	AU 1998-51380	19971121
AU 731167	B2	20010322		
EP 944621	A1	19990929	EP 1997-948289	19971121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CN 1235771	A	19991117	CN 1997-180036	19971121
CN 1096852	B	20021218		
BR 9712542	A	20000125	BR 1997-12542	19971121
JP 2001506981	T2	20010529	JP 1998-520693	19971121
ZA 9710577	A	19980625	ZA 1997-10577	19971125
US 6140318	A	20001031	US 1999-207031	19990413
NO 9902470	A	19990526	NO 1999-2470	19990521
US 6359764	B1	20020319	US 2000-669992	20001017

PRIORITY APPLN. INFO.: US 1996-31777P P 19961125
WO 1997-US20801 W 19971121
US 1999-296714 A1 19990413

OTHER SOURCE(S): MARPAT 128:54373
GI



AB The title compds. [I; R1 = H, alkyl; bond (a) = a single, a double bond;

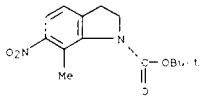
R2, R3 = H, C1-3 alkyl, alkenyl, alkynyl, etc.; R4-R6 = H, C1-3 alkyl, alkenyl, alkynyl, etc. (wherein one and only one of R4-R6 = 2-imidazolinylamino); R7 = H, C1-3 alkyl, alkenyl, alkynyl, etc. (the compd. is not 4-(2-imidazolinylamino)indole), and their salts, useful for preventing or treating disorders modulated by alpha-2 adrenoceptors such as nasal congestion, glaucoma, gastrointestinal disorders, migraine, disorders related to sympathetic nervous system, pain and substance abuse.

were prepd. and formulated. Thus, reaction of 6-isothiocyanato-7-methylindole (prepn. described) with ethylenediamine in PhMe followed by

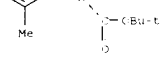
treatment of the resulting 6-(N'-(2-aminoethyl)thioureido)-7-methylindole with Hg(OAc)2 in EtOH afforded 3.3% I AcOH [R1-R5 = H; R6 = 2-imidazolinylamino; R7 = Me; bond (a) = a double bond]. Compds. I are effective at 0.001-0.5 mg/kg.

IT **208510-92-3P 208510-93-4P 208510-98-9P**
208511-13-1P 208511-14-2P 208511-15-3P
208511-16-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 2-imidazolinylaminoindoles as alpha-2 adrenoceptor agonists)

RN 208510-92-3 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-7-methyl-6-nitro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 208510-93-4 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-7-methyl-4-nitro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

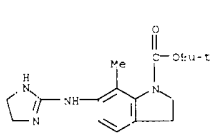


RN 208510-98-9 CAPLUS
CN 1H-Indole-1-carboxylic acid, 6-[(4,5-dihydro-1H-imidazol-2-yl)amino]-2,3-dihydro-7-methyl-, 1,1-dimethylethyl ester, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 208510-97-8

CMF C17 H24 N4 O2

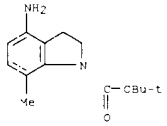


CM 2

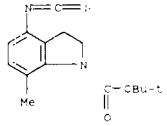
CRN 7647-01-0
CMF Cl H

HCl

RN 208511-13-1 CAPLUS
CN 1H-Indole-1-carboxylic acid, 4-amino-2,3-dihydro-7-methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

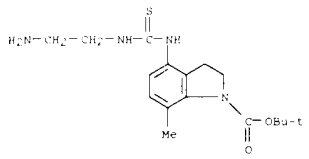


RN 208511-14-2 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-4-isothiocyanato-7-methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

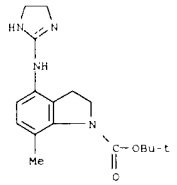


RN 208511-15-3 CAPLUS
CN 1H-Indole-1-carboxylic acid, 4-[[[(2-aminoethyl)amino]thioxomethyl]amino]-

L5 ANSWER 14 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)
2,3-dihydro-7-methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



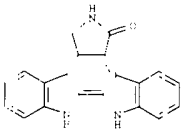
RN 208511-16-4 CAPLUS
CN 1H-Indole-1-carboxylic acid, 4-[(4,5-dihydro-1H-imidazol-2-yl)amino]-2,3-dihydro-7-methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L5 ANSWER 15 OF 43 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:448800 CAPLUS
DOCUMENT NUMBER: 129:136362
TITLE: A new synthesis of staurosporinone
AUTHOR(S): Bocca, Elio M.; Gelmi, Maria Luisa; Marchesini, Alessandro
CORPORATE SOURCE: Istituto Chimico Organico, Universita degli Studi di Milano, Milan, 20133, Italy
SOURCE: Tetrahedron (1998), 54(24), 6909-6928
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 129:136362

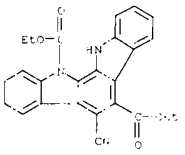


I

AB A new six steps synthesis of staurosporinone (I), starting from 3-cyano-2-(1H-indol-3-yl)-2-oxo-propionic acid Et ester, is reported.

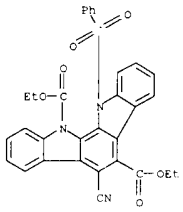
ST **210470-15-8P 210470-16-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
new synthesis of staurosporinone

RN 210470-15-8 CAPLUS
CN Indolo[2,3-a]carbazole-5,11(12H)-dicarboxylic acid, 6-cyano-, diethyl ester (9CI) (CA INDEX NAME)



RN 210470-16-9 CAPLUS
CN Indolo[2,3-a]carbazole-5,11(12H)-dicarboxylic acid, 6-cyano-12-(phenylsulfonyl)-, diethyl ester (9CI) (CA INDEX NAME)

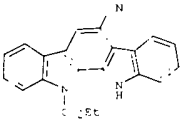
L5 ANSWER 15 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L5 ANSWER 16 OF 43 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:19650 CAPLUS
DOCUMENT NUMBER: 128:54305
TITLE: Dimerization of 1-benzenesulfonyl-3-cyanomethylindole. Synthesis of indolo[2,3-a]carbazoles
AUTHOR(S): Bocca, Elio M.; Gelmi, Maria Luisa; Erba, Emanuela
CORPORATE SOURCE: Istituto di Chimica Organica, Facolta di Farmacia, Universita degli Studi di Milano, Milan, 20133, Italy
SOURCE: Heterocycles (1998), 48(4), 735-741
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 128:54305

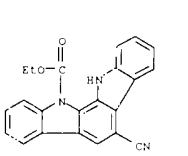


II

AB The treatment of 1-benzenesulfonyl-3-cyanomethylindole with LDA, in THF at -78°, followed by addition of 2-(1-benzenesulfonyl-1H-indol-3-yl)-3-(1H-indol-3-yl)-3-oxopropionitrile (I) in 79% yield. From I, the indolo[2,3-a]carbazole II has been obtained in 58% yield.

ST **208644-78-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
preparation of indolocarbazole via dimerization of benzenesulfonyl (cyanomethyl)indole

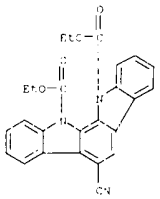
RN 208644-78-4 CAPLUS
CN Indolo[2,3-a]carbazole-11(12H)-carboxylic acid, 5-cyano-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

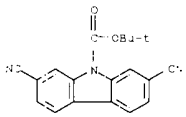
FORMAT

L5 ANSWER 17 OF 43 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:122764 CAPLUS
DOCUMENT NUMBER: 128:172765
TITLE: A new entry to indolo[2,3-a]carbazoles
AUTHOR(S): Beccalli, Egle M.; Marchesini, Alessandro
CORPORATE SOURCE: Istituto di Chimica Organica, Facolta di Farmacia, Milan, 20133, Italy
SOURCE: Synthetic Communications (1998), 28(24), 4615-4621
CODEN: SYNCAV; ISSN: 0039-7911
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The synthesis of 5-cyanoindolo[2,3-a]carbazole-11,12-dicarboxylic acid di-Et ester was described starting from 3-(chloromethylene)-1,3-dihydro-2H-indol-2-one and .alpha.-cyano-1-(ethoxycarbonyl)-1H-indole-3-acetic acid Et ester.
IT **201357-31-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of indolo[2,3-a]carbazole deriv.)
RN 201357-31-5 CAPLUS
CN Indolo[2,3-a]carbazole-11,12-dicarboxylic acid, 5-cyano-, diethyl ester (9CI) (CA INDEX NAME)



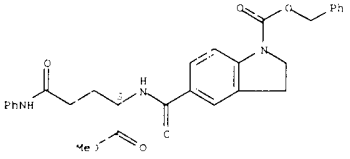
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 18 OF 43 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:752590 CAPLUS
DOCUMENT NUMBER: 129:348421
TITLE: Anti-Pneumocystis carinii pneumonia activity of dicationic carbazoles
AUTHOR(S): Patrick, D. A.; Boykin, D. W.; Wilson, W. D.; Tanious, F. A.; Spychala, J.; Bender, B. C.; Hall, J. E.; Dykstra, C. C.; Ohemeng, K. A.; Tidwell, R. R.
CORPORATE SOURCE: Department of Pathology and Laboratory Medicine, School of Medicine, The University of North Carolina at Chapel Hill, Chapel Hill, NC, 27599, USA
SOURCE: European Journal of Medicinal Chemistry (1998), 33(10), 781-792
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Editions Scientifiques et Medicales Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of 2,7- and 3,6-bis cationic carbazoles was synthesized and evaluated for activity against a rat model of Pneumocystis carinii pneumonia (PCP). The compds. were also tested for inhibition of topoisomerase II and binding to DNA. Several of the compds. proved to be more potent and less toxic than a std. anti-PCP drug (pentamidine). While no quant. correlation was seen between anti-PCP activity, topoisomerase inhibition and DNA binding, a minimal level of DNA binding was found to be necessary for antimicrobial activity.
IT **200878-48-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and antibacterial activity against Pneumocystis carinii pneumonia of cationic carbazoles)
RN 200878-48-4 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, 2,7-dicyano-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:471075 CAPLUS
DOCUMENT NUMBER: 127:149019
TITLE: Antirheumatic agents. III. Novel methotrexate derivatives bearing an indoline ring and a modified ornithine or glutamic acid
AUTHOR(S): Matsuoka, Hiroharu; Kato, Nobuaki; Ohi, Nobuhiro; Miyamoto, Katsuhito; Minara, Masahiko; Takeda, Yasuhisa
CORPORATE SOURCE: Fuji Gotemba Res. Lab., Chugai Pharm. Co., Ltd., Shizuoka, 412, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1997), 45(7), 1146-1150
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The synthesis, biol. profile and structure-activity relationship of various methotrexate (MTX) derivs. bearing an indoline ring are described. In particular, N.delta.-(3-carboxyphenyl)-N.alpha.-[1-[(2,4-diaminopteridine-6-yl)-methyl]indoline-5-ylcarbonyl]-L-glutamine, compared to MTX, exhibited an enhanced anti-proliferative effect on human peripheral blood mononuclear cells obtained from healthy volunteers
IT **142165-83-1P 142165-90-0P 142165-94-4P 194206-08-1P 194206-09-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn., antirheumatic activity, and structure activity of methotrexate derivs. with indole ring and modified ornithine or glutamic acid)
RN 142165-83-1 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-5-[[[1-(methoxycarbonyl)-4-oxo-4-(phenylamino)butyl]amino]carbonyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

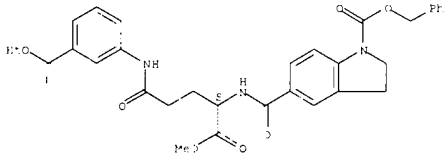
Absolute stereochemistry.



RN 142165-90-0 CAPLUS
CN 1H-Indole-1-carboxylic acid, 5-[[[4-[[3-(ethoxycarbonyl)phenyl]amino]-1-(methoxycarbonyl)-4-oxobutyl]amino]carbonyl]-2,3-dihydro-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

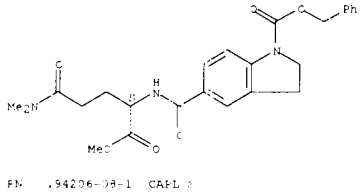
Absolute stereochemistry.

L5 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)



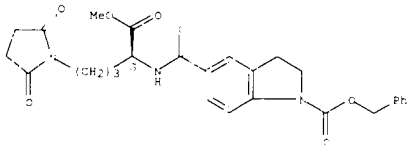
RN 142165-94-4 CAPLUS
CN 1H-Indole-1-carboxylic acid, 5-[[[4-(dimethylamino)-1-(methoxycarbonyl)-4-oxobutyl]amino]carbonyl]-2,3-dihydro-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 194206-08-1 CAPLUS
CN 1H-Indole-1-carboxylic acid, 5-[[[4-(2,5-dioxo-1-pyrrolidinyl)-1-(methoxycarbonyl)butyl]amino]carbonyl]-2,3-dihydro-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

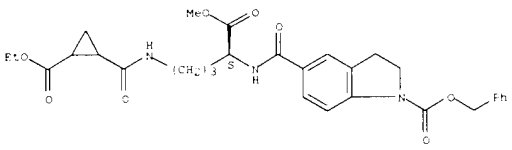
Absolute stereochemistry.



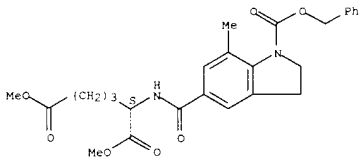
RN 194206-09-2 CAPLUS
CN 1H-Indole-1-carboxylic acid, 5-[[[4-[[2-(ethoxycarbonyl)cyclopropyl]carbonyl]amino]-1-(methoxycarbonyl)butyl]amino]carbonyl]-2,3-dihydro-,

L5 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)
phenylmethyl ester, [1S] [partial] (9CI) (CA INDEX NAME)

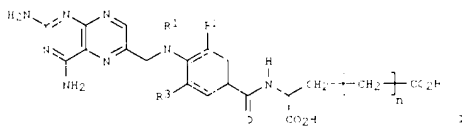
Absolute stereochemistry.



L5 ANSWER 20 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)



L5 ANSWER 2 OF 43 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:212487 CAPLUS
DOCUMENT NUMBER: 126:157483
TITLE: Antirheumatic agents. II. Novel methotrexate derivatives bearing an alkyl substituted benzene ring
AUTHOR(S): Matsuoka, Hirokazu; Maruyama, Noriaki; Suzuki, Hiroshi; Kuroki, Toshio; Tsuji, Keiichiro; Kato, Noriaki; Ohi, Nobuhiro; Mihara, Masahiko; Takeda, Yasuhisa; Yano, Keiichi
CORPORATE SOURCE: Fuji-Gotemba Lab., Chugai Pharm. Co. Ltd., Shizuoka, 412, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1996), 44(12), 2287-2293
CODEN: CPBTAL ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

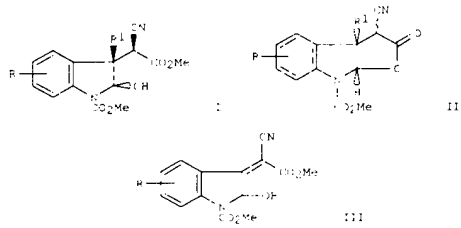


AB Novel methotrexate (MTX) derivs. I (R1-R3 = H, Me, etc.; n = 1-2) with either a mono- or di-alkyl-substituted benzene ring were synthesized and initially tested for cytotoxic anti-proliferative activities using human peripheral blood mononuclear cells (hPBMC) derived from healthy volunteers and synovial cells (SC) derived from patients with rheumatoid arthritis (RA). Compds. with potent activities were further evaluated in an in vivo adjuvant arthritis model. In comparison with MTX, a glutamate deriv. I (R1 = Me, R2 = Me, R3 = H, n = 1) was more potent as a suppressor of the in vitro cell proliferation and in vivo exptl. arthritis. Homoglutamate deriv., I (R1 = Me, R2 = Me, R3 = H, n = 2), exhibited fairly good activities in vitro and considerable activity in vivo in a dose-dependent manner. As expected, I (R1 = Me, R2 = Me, R3 = H, n = 2) did not act as a substrate for folylpolyglutamate synthetase (FPGS), and thus did not undergo polyglutamation, which is thought to be responsible for side effects that occur during MTX therapy.

IT **153304-71-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PREP (Preparation); RACT (Reactant or reagent)
preprn. of methotrexate derivs. as antirheumatic drugs)
RN 153304-71-3 CAPLUS
CN Hexanedioic acid, 2-[[(1,4-dihydro-7-methyl-1-[(phenylmethoxy)carbonyl]-1H-indol-5-yl)carbonyl]amino]-, dimethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

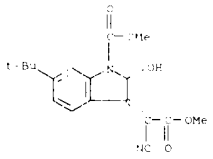
L5 ANSWER 21 OF 43 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:480500 CAPLUS
DOCUMENT NUMBER: 125:170944
TITLE: Stereocontrolled synthesis of 3-alkylindolines from 3-(2-hydroxyindolyl)enones
AUTHOR(S): Morales-Rios, M. S.; Garcia-Martinez, C.; Bucio, M. A.; Joseph-Nathan, P.
CORPORATE SOURCE: Dep. Química Cent. Investigacion Estudios Avanzados, Inst. Politecnico Nacional, Mexico, 07000, Mex.
SOURCE: Monatshefte fuer Chemie (1994), 127(6/7), 691-699
CODEN: MOCHEB7. ISSN: 0026-9247
PUBLISHER: Springer
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 125:170944
GI



AB A convenient synthesis of alkylindolines I (R = H; R1 = Me, Et, CHMe2, CMe3; R = 5-Br, 6-Br; R1 = Me) and corresponding cis-fused tricyclic gamma.-lactones II starting from appropriate allylic alcs. III by Grignard alkylation is described. The process proceeds with high stereocontrol at the 2 newly formed contiguous stereogenic centers. Oxidn. of the alkylindolinols I with CrO3 gave the corresponding 2-oxindoles

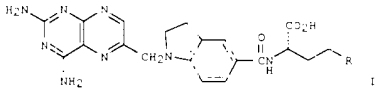
IT **188809-99-6P**
RL: BYP (Byproduct); PREP (Preparation)
(prepn. of alkylindolines by stereoselective Grignard alkylation of hydroxyindolylenones)
RN 188809-99-6 CAPLUS
CN 1H-Indole-1-carboxylic acid, 3-(1-cyano-2-methoxy-2-oxoethylidene)-5-(1,1-dimethylethyl)-2,3-dihydro-2-hydroxy-, methyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 21 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)



L5 ANSWER 22 OF 43 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:448[illegible]2 CAPLUS
DOCUMENT NUMBER: 125:222[illegible]2
TITLE: Antirheumatic agents. V. Novel methotrexate derivatives bearing an indoline moiety
AUTHOR(S): Matsuoka, Hironaru; Kato, Nobuaki; Tsuji, Keiichiro; Maruyama, Noriaki; Suzuki, Hiroshi; Mihara, Masahiko; Makeda, Yasuhisa; Yano, Keiichi
CORPORATE SOURCE: Pkg. Gotemba Lab., Chugai Pharmaceutical Co., Ltd., Shizuoka, 412 Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1996), 44(7), 1331-1337
CODEN: CPBTAL ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



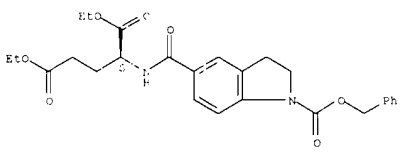
AB Various novel methotrexate (MTX) derivs. I [R = CH2NHCOC6H4R1, CH2CO2H, SO3H, CO2H; R1 = 2-, 3-, or 4-CO2H] bearing an indoline moiety were synthesized and tested for biol. activities using human peripheral blood mononuclear cell and human synovial cells derived from patients with rheumatoid arthritis. Compds. having potent activity in vitro were further evaluated using an adjuvant arthritis model in vivo. I (R = CO2H) showed more potent activities than MTX in vitro and in vivo, and I [R = CH2CO2H] exhibited fairly good activities in vitro and considerable activity in vivo. I [R = CH2CO2H] was, as expected, not sensitive to folyl-polyglutamate synthetase and did not undergo polyglutamation, a process which may be responsible for a side-effect during MTX therapy.

IT **142165-64-8P 142165-67-1P 142165-71-7P**
142165-75-1P 142165-79-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of antirheumatic methotrexate derivs. bearing an indoline moiety)

RN 142165-64-8 CAPLUS
CN L-Glutamic acid, N-[[2,3-dihydro-1-(phenylmethoxy)carbonyl]-1H-indol-5-yl]carbonyl]-, diethyl ester (9CI) (CA INDEX NAME)

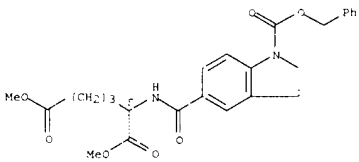
Absolute stereochem.str..

L5 ANSWER 22 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)



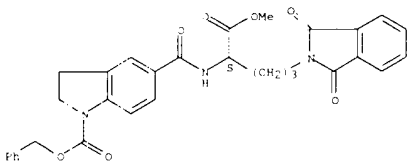
RN 142165-67-1 CAPLUS
CN Hexanedioic acid, 2-[[[2,3-dihydro-1-[(phenylmethoxy)carbonyl]-1H-indol-5-yl]carbonyl]amino]-, dimethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 142165-71-7 CAPLUS
CN 2H-Isoindole-2-pentanoic acid, .alpha.-[[[2,3-dihydro-1-[(phenylmethoxy)carbonyl]-1H-indol-5-yl]carbonyl]amino]-1,3-dihydro-1,3-dioxo-, methyl ester, (S)- (9CI) (CA INDEX NAME)

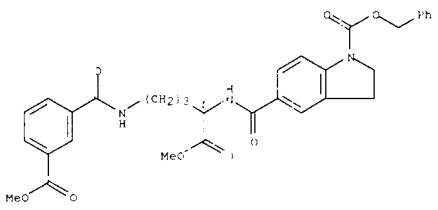
Absolute stereochemistry.



RN 142165-75-1 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-5-[[[1-methoxycarbonyl]-4-[[3-(methoxycarbonyl)benzoyl]amino]butyl]amino]carbonyl]-, phenylmethyl ester,
(S)- (9CI) (CA INDEX NAME)

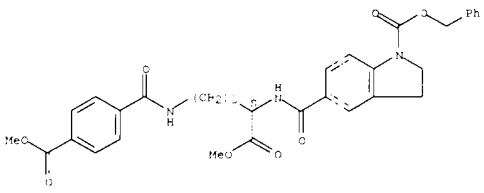
Absolute stereochemistry.

L5 ANSWER 22 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)

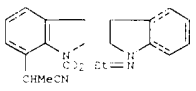


RN 142165-79-5 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-5-[[[1-(methoxycarbonyl)-4-[[4-(methoxycarbonyl)benzoyl]amino]butyl]amino]carbonyl]-, phenylmethyl ester,
(S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 23 OF 43 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:581643 CAPLUS
DOCUMENT NUMBER: 123:254476
TITLE: Heterocyclization of N-(propionylamino)indoline
AUTHOR(S): Zhestkov, V. P.; Barinova, V. N.; Portnov, Yu. N.; Chernyshev, A. I.
CORPORATE SOURCE: Vseross. Nauchn. Tsent. Bezopasn. Biol. Akt. Ve., Veshchestv, Staraya Kupavna, Russia
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1995), (2), 268-70
CODEN: KGSSAQ; ISSN: 0132-6244
PUBLISHER: Latvijskij Institut Organicheskogo Sinteza
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI

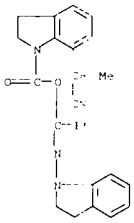


I

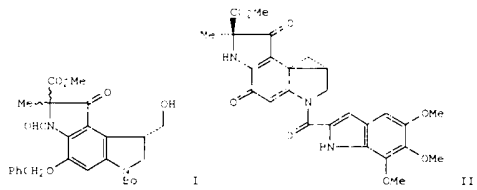
AB Reaction of the title compd. with phosgene in benzene gave iminopropyl ester I in 88% yield.

IT **169141-79-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 169141-79-1 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2-(1-cyanoethyl)-2,3-dihydro-, anhydride with N-(2,3-dihydro-1H-indol-1-yl)propanimidic acid (9CI) (CA INDEX NAME)



L5 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1994:557318 CAPLUS
DOCUMENT NUMBER: 121:157318
TITLE: Synthetic studies on duocarmycins. 2. Synthesis and cytotoxicity of natural (+) duocarmycin A and its three possible stereoisomers
AUTHOR(S): Fukuda, Yasumichi; Nakatani, Kazuhiko; Terashima, Shiro
CORPORATE SOURCE: Cent. Res. Lab., Kyorin Pharm. Co., Ltd., Tochigi, 329-01, Japan
SOURCE: Tetrahedron (1994), 50(19), 5809-23
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I II

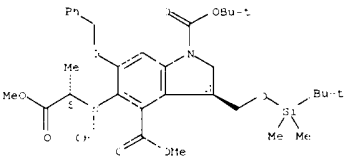
AB The title synthesis was achieved by featuring the optical resoln. of two types of the tricyclic intermediates, e.g., I, and the synthetic scheme established in the synthesis of racemic compds. In vitro cytotoxicity assay against P388 murine leukemia obviously showed that the abs. configuration of cyclopropane moiety in (+)-duocarmycin A (II) is closely related to its cytotoxicity.

IT **157478-31-4P 157478-32-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and Diels-Alder cyclization of)

RN 157478-31-4 CAPLUS
CN 1H-Indole-1,4-dicarboxylic acid, 3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-1-formyl-2-methoxy-1-methyl-2-oxoethyl)amino]-2,3-dihydro-6-(phenylmethoxy)-, 4-(1,1-dimethylethyl) 4-methyl ester, [S-(R*,R*)]- (9CI)
(CA INDEX NAME)

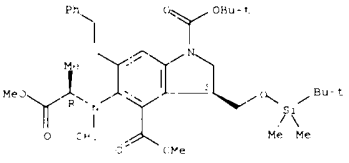
Absolute stereochemistry.

L5 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 157478-32-5 CAPLUS
CN 1H-Indole-1,4-dicarboxylic acid, 3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-1-formyl(2-methoxy-1-methyl-2-oxoethyl)amino]-2,3-dihydro-6-(phenylmethoxy)-, 1-(1,1-dimethylethyl) 4-methyl ester, [R-(R*,S*)]- (9CI)
(CA INDEX NAME)

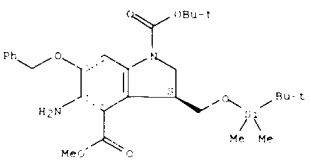
Absolute stereochemistry.



IT **157478-28-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and alkylation of, with bromopropionate

RN 157478-28-9 CAPLUS
CN 1H-Indole-1,4-dicarboxylic acid, 5-amino-3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2,3-dihydro-6-(phenylmethoxy)-, 1-(1,1-dimethylethyl) 4-methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

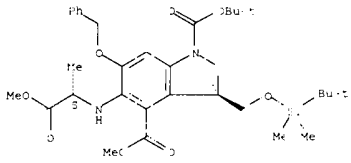


L5 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)

IT **157478-29-0P 157478-30-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and formylation of)

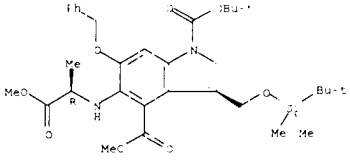
RN 157478-29-0 CAPLUS
CN 1H-Indole-1,4-dicarboxylic acid, 3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2,3-dihydro-6-[(2-methoxy-1-methyl-2-oxoethyl)amino]-6-(phenylmethoxy)-, 1-(1,1-dimethylethyl) 4-methyl ester, (S-(R*,R*))- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RN 157478-30-3 CAPLUS
CN 1H-Indole-1,4-dicarboxylic acid, 3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2,3-dihydro-6-[(2-methoxy-1-methyl-2-oxoethyl)amino]-6-(phenylmethoxy)-, 1-(1,1-dimethylethyl) 4-methyl ester, [R-(R*,S*)]- (9CI)
(CA INDEX NAME)

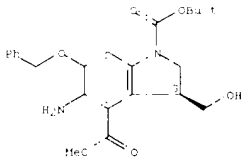
Absolute stereochemistry.



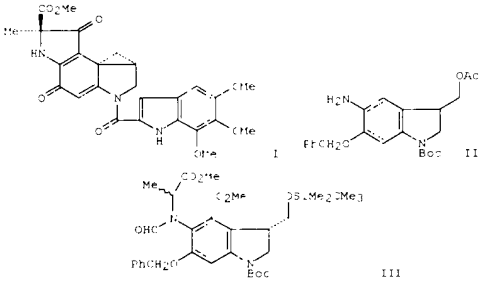
IT **157393-03-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and silylation of)

RN 157393-03-8 CAPLUS
CN 1H-Indole-1,4-dicarboxylic acid, 5-amino-2,3-dihydro-3-(hydroxymethyl)-6-(phenylmethoxy)-, 1-(1,1-dimethylethyl) 4-methyl ester, (S)- (9CI) (CA INDEX NAME)

L5 ANSWER 24 OF 43 CAPLUS (COPYRIGHT 2003 ACS) (Continued)
Absolute stereochemistry.



L5 ANSWER 25 OF 43 CAPLUS (COPYRIGHT 2003 ACS)
ACCESSION NUMBER: 1994:557847 CAPLUS
DOCUMENT NUMBER: 121:157847
TITLE: Synthetic studies on duocarmycins. 1. Total synthesis of dl-duocarmycin A and its 2-epimer
AUTHOR(S): Fukuda, Yasumichi; Ito, Yoshio; Nakatani, Kazuhiko; Terashima, Shuzo
CORPORATE SOURCE: Sagami Chem. Res. Cent., Kanagawa, 229, Japan
SOURCE: Tetrahedron Letters, 1994, 35(35), 6293-6296
CODEN: TETRAP; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 121:157847
RI

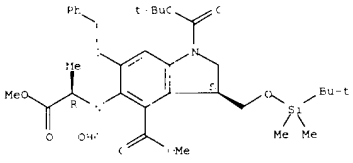


AB The title synthesis of dl-duocarmycin A (I, and its 2-epimer was first achieved by employing nonreductive methoxycarbonylation of the C4-position of the 5-aminoindoline II by way of the isatin and subsequent Dieckmann cyclization of indole arboxylate III to the Me 2-methylindoxyl-2-carboxylate as key steps. In vitro cytotoxicity assay against P388 murine leukemia obviously disclosed that cytotoxicities of the synthesized compds. are comparable and almost half of that of natural (+)-duocarmycin A

IT **132628-66-1P 132628-75-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and Dieckmann cyclization of)
RN 132628-66-1 CAPLUS
CN 1H-Indole-2,4-dicarboxylic acid, 5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-5-[formyl(2-methoxy-1-methyl-2-oxoethyl)amino]-2,3-dihydro-6-(phenylmethoxy)-, 2-(1,1-dimethylethyl) 4-methyl ester, (R*,S*)- (9CI) (CA INDEX NAME)

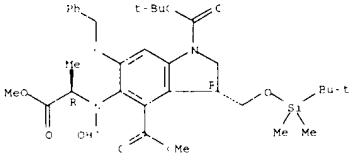
Relative stereochemistry.

L5 ANSWER 25 OF 43 CAPLUS (COPYRIGHT 2003 ACS) (Continued)

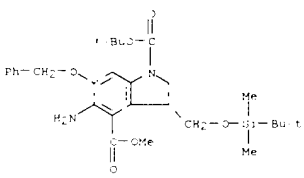


RN 132628-75-2 CAPLUS
CN 1H-Indole-2,4-dicarboxylic acid, 3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-5-[formyl(2-methoxy-1-methyl-2-oxoethyl)amino]-2,3-dihydro-6-(phenylmethoxy)-, 2-(1,1-dimethylethyl) 4-methyl ester, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



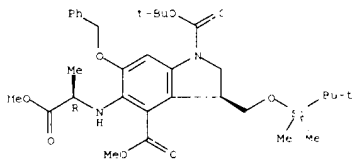
IT **132628-64-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and alkylation of, with bromopropionate)
RN 132628-64-9 CAPLUS
CN 1H-Indole-2,4-dicarboxylic acid, 5-amino-3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2,3-dihydro-6-(phenylmethoxy)-, 1-(1,1-dimethylethyl) 4-methyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 25 OF 43 CAPLUS (COPYRIGHT 2003 ACS) (Continued)

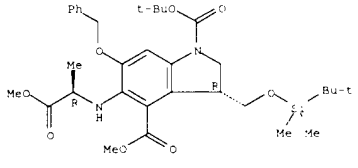
IT **132628-65-0P 132628-74-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and formylation of)
RN 132628-65-0 CAPLUS
CN 1H-Indole-2,4-dicarboxylic acid, 5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2,3-dihydro-5-[(2-methoxy-1-methyl-2-oxoethyl)amino]-6-(phenylmethoxy)-, 2-(1,1-dimethylethyl) 4-methyl ester, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 132628-74-1 CAPLUS
CN 1H-Indole-2,4-dicarboxylic acid, 5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2,3-dihydro-5-[(2-methoxy-1-methyl-2-oxoethyl)amino]-6-(phenylmethoxy)-, 2-(1,1-dimethylethyl) 4-methyl ester, (R*,R*)- (9CI) (CA INDEX NAME)

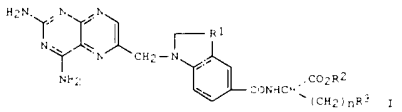
Relative stereochemistry.



L5 ANSWER 26 OF 43 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1994:479794 CAPLUS
DOCUMENT NUMBER: 121:79794
TITLE: Preparation of pteridinylindolines for treatment of rheumatism
INVENTOR(S): Matsuoka, Koji; Kato, Nobuaki; Myamoto, Katsuhito; Ooi, Nobuhiro; Tsuji, Keiichiro; Suzuki, Yasashi; Takeda, Yasuhisa; Mihara, Masahiko
PATENT ASSIGNEE(S): Chuga Pharmaceutical Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 38 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06310558	A2	19941025	JP 1993-64342	19930212
JP 3241099	B2	20051205		

PRIORITY APPLN. INFO.: JP 1993-75107 A 19920213
OTHER SOURCE(S): MARPAT 121:79794
GI

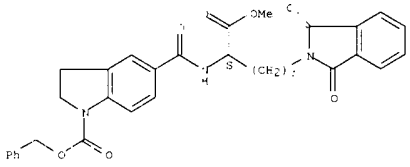


AB Pteridine derivs. I [R1 = CH2, CH2CH2, CH2O, CH2S, CH2SO; R2 = H, C1-4 alkyl, benzyl; n = 1-4; R3 = COOH, NHCOR', CONR6N7, PO3H2, SO3H; R4 = H, C1-4 alkyl; R5 = (un substituted Ph; R6 = H, C1-4 alkyl; R7 = H, C1-4 alkyl; Ph, etc.] are prepd. for treatment of rheumatism. For example, I [R1 = CH2, R2 = H, n = 2, R3 = COOH] was prepd. and tested for its antirheumatism activity by detg. the inhibitory activity against lymph proliferation in vitro.
IT **142165-82-0P**
RL: PRT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and Me esterification of)
RN 142165-82-0 CAPLUS
CN 1H-Indole-1-carboxylic acid, 5-[[1-carboxy-4-oxo-4-phenylamino]butyl]amino]carbonyl]-2,3-dihydro-, 1-(phenylmethyl) ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

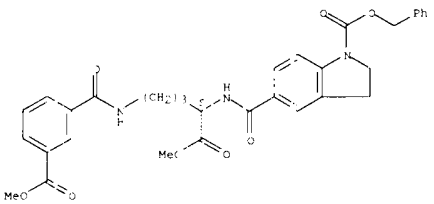
L5 ANSWER 26 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)
4-oxo-, methyl ester (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 142165-75-5 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-5-[[[1-(methoxycarbonyl)-4-[[3-(methoxycarbonyl)benzoyl]amino]butyl]amino]carbonyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

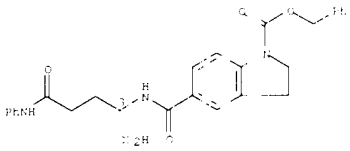
Absolute stereochemistry.



RN 142165-79-9 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-5-[[[1-(methoxycarbonyl)-4-[[4-(methoxycarbonyl)benzoyl]amino]butyl]amino]carbonyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

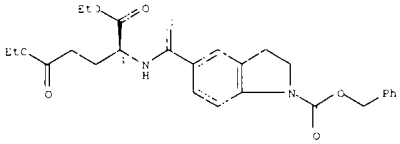
Absolute stereochemistry.

L5 ANSWER 26 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)



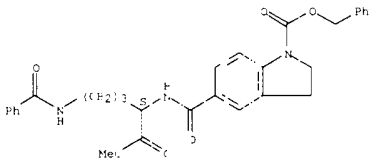
IT **142165-64-0P 142165-68-2P 142165-71-7P**
142165-75-1P 142165-79-5P 142165-83-1P
142165-94-4P 142166-06-1P 156578-53-9P
156578-61-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and decarbobenzylation of)
RN 142165-64-0 CAPLUS
CN L-Glutamic acid, N-(2,3-dihydro-1-[phenylmethoxy)carbonyl]-1H-indol-5-yl)carbonyl]-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



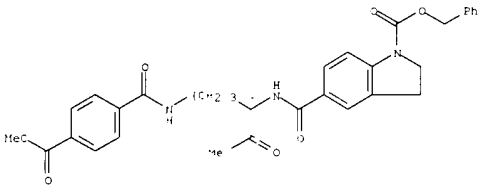
RN 142165-68-2 CAPLUS
CN 1H-Indole-1-carboxylic acid, 5-[[[4-(benzoylamino)-1-(methoxycarbonyl)butyl]amino]carbonyl]-2,3-dihydro-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



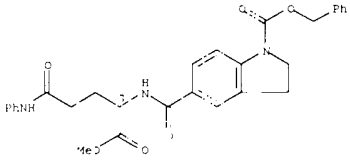
RN 142165-71-7 CAPLUS
CN 2H-Isoindole-2-pentanoic acid, .alpha.-[[[2,3-dihydro-1-[(phenylmethoxy)carbonyl]-1H-indol-5-yl]carbonyl]amino]-1,3-dihydro-1,3-

L5 ANSWER 26 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)



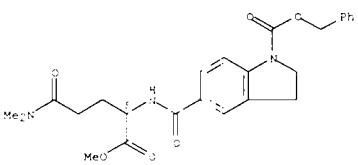
RN 142165-83-1 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-5-[[1-(methoxycarbonyl)-4-oxo-4-(phenylamino)butyl]amino]carbonyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 142165-94-4 CAPLUS
CN 1H-Indole-1-carboxylic acid, 5-[[[4-(dimethylamino)-1-methoxycarbonyl)-4-oxobutyl]amino]carbonyl]-2,3-dihydro-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

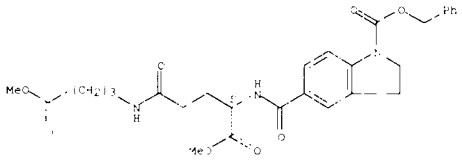
Absolute stereochemistry.



RN 142166-06-1 CAPLUS

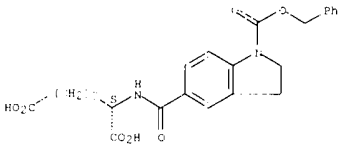
L5 ANSWER 26 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-5-[[[1-(methoxycarbonyl)-4-[(4-methoxy-4-oxobutyl)amino]-4-oxobutyl]amino]carbonyl]-, phenylmethyl ester,
(S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



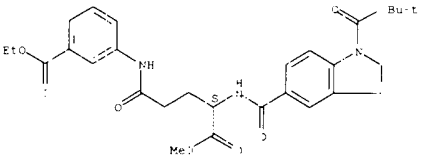
RN 156578-53-9 CAPLUS
CN Hexanedioic acid,
2-[[2,3-dihydro-1-[(phenylmethoxy)carbonyl]-1H-indol-5-yl]carbonyl]amino], (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 156578-61-9 CAPLUS
CN 1H-Indole-1-carboxylic acid,
5-[[[1(R)-4-[[3-(ethoxycarbonyl)(phenyl]amino]-
3-methoxycarbonyl)-4-oxobutyl amino]carbonyl]-2,3-dihydro-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



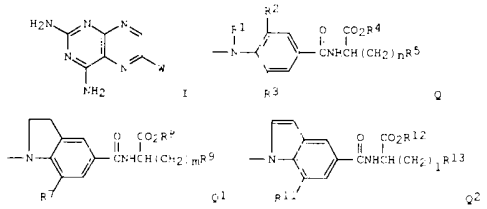
L5 ANSWER 27 OF 43 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1994:164208 CAPLUS
DOCUMENT NUMBER: 120:164208
TITLE: Preparation of metriotrexate derivatives as antitumor agents
INVENTOR(S): Matsuoka, Hiroharu; Suzuki, Hiroshi; Kato, Nobuaki; Tsuji, Keiichiro; Furuki, Toshio; Maruyama, Noriaki
PATENT ASSIGNEE(S): Chugai Seiyaku K. K., Japan
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9315077	A1	19930805	WO 1993-JP96	19930127
W: AT, AU, BR, CA, CH, DE, ES, GB, HU, KR, LK, LU, MG, MN, MW, NL, NO, PL, RO, RU, SE, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
JP 05339268	A2	19931221	JP 1993-44410	19930225
JP 07072085	B2	19950802		
ZA 9300556	A	19931014	ZA 1993-556	19930126
CN 1077956	A	19931103	CN 1993-100057	19930126
CN 1039607	B	19980304		
AU 9333076	A1	19930901	AU 1993-33076	19930127
EP 625078	A1	19941123	EP 1993-902556	19930127
EP 625078	B1	20010502		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AT 200476	E	20010515	AT 1993-902556	19930127
ES 2157113	T3	20010816	ES 1993-902556	19930127
JP 06206869	A2	19940725	JP 1993-64581	19930312
JP 3145543	B2	20010312		
JP 06226670	A2	19940816	JP 1993-99005	19930318
JP 3274532	B2	20020415		
US 6559149	B1	20030506	US 1994-256441	19940712

PRIORITY APPLN. INFO.:
JP 1992-5051 A 19920127
JP 1992-71106 A 19920213
JP 1992-183220 A 19920516
JP 1992-151216 A 19920512
WO 1993-JP96 A 19930127

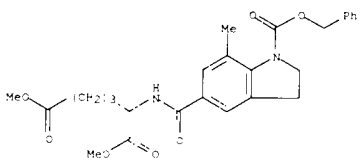
OTHER SOURCE(S): MARPAT 120:164208
GI

L5 ANSWER 27 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)



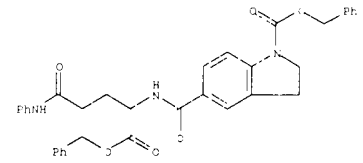
AB Title compds. I (W = C, Q1, Q2; R1, R4 = H, C1-4 alkyl; R2 = C1-4 alkyl, CF3; R3 = H, C1-4 alkyl, CF3; R5 = CO2H, alkoxycarbonyl, SO3H, H, C1-4 alkyl; n, r, l = 1-4 integer; R7 = C1-4 alkyl, R8 = H, C1-4 alkyl; R9 = CO2H, alkoxycarbonyl, SO3H; R11, R12 = H, C1-4 alkyl; R13 = CO2H, alkoxycarbonyl, SO3H) are prepd. A suspension of N-[N'-methyl-(4-amino-3-methylbenzoyl)-L-glutamic di-Et ester (prepn. given) and 6-bromomethyl-2,4-diaminopteridine HBr-isopropanol adduct in DMF was heated at 50-60 degree for 4 h to give the title compd. di-Et N-[4-[N'-(2,4-diamino-6-pteridinyl)methyl-N'-methylamino]-3-methylbenzoyl]-L-glutamate which was hydrolyzed to give the free carboxylic acid (II). In an in vitro study using a culture of lymphocytes from human peripheral blood, II inhibited the uptake of 3H-deoxyuridine in phytohemagglutinin-stimulated lymphocytes.
IT 153304-71-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for antitumor agents)
RN 153304-71-3 CAPLUS
CN Hexanedioic acid,
2-[[2,3-dihydro-7-methyl-1-[(phenylmethoxy)carbonyl]-1H-indol-5-yl]carbonyl]amino]-, dimethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

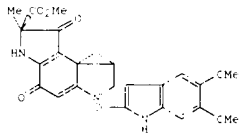


L5 ANSWER 28 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)
IT 142165-81-9P
RL: PCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrolysis of)
RN 142165-81-9 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-5-[[[4-oxo-4-(phenylamino)-1-[(phenylmethoxy)carbonyl]butyl]amino]carbonyl] , phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



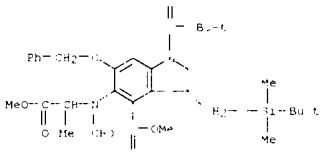
L5 ANSWER 28 OF 43 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1993:538451 CAPLUS
DOCUMENT NUMBER: 119:138451
TITLE: Synthesis and cytotoxicity of enantiomeric pairs of duocarmycin A and its 2-epimer
AUTHOR(S): Fukuda, Yasumichi; Nakatani, Kazuhiko; Terashima, Shiro
CORPORATE SOURCE: Cent. Res. Lab., Kyorin Pharm. Co., Ltd., Nogi, Tochigi 329-08, Japan
SOURCE: Bioorganic & Medicinal Chemistry Letters (1992), 2(7), 675-8
CODEN: BMCLE8 ISSN: 0960-894X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB The synthesis of the four possible diastereomers of duocarmycin A (I) was achieved through crit. cal resoln. of a tricyclic synthetic intermediate. The stereochem. configuration of the cyclopropane ring was found to be closely related with their cytotoxicity against P388 murine leukemia.

IT **149365-65-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(Dieckmann cyclization of)

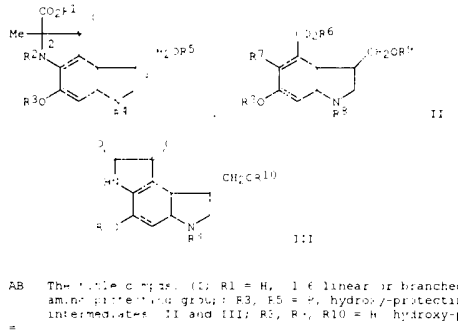
RN 149365-65-1 CAPLUS
CN 1H-Indole-1,4-dicarboxylic acid, 3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-5-formyl-2-methoxy-1-methyl-2-oxoethyl)amino]-2,3-dihydro-6-(phenylmethoxy)-, 1-(1,1-dimethylethyl) 4-methyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 29 OF 43 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:594827 CAPLUS
DOCUMENT NUMBER: 117:194827
TITLE: Preparation of 2-alkoxycarbonyl-7-methyl-1-oxo-1,2,3,6,7,8-hexahydrocinnzo[1,2-b:4,3-b']dipyrrole derivatives as intermediates for duocarmycins
INVENTOR(S): Terajima, Atsuro; Fukuda, Yasumichi; Nakatani, Kazuhiko; Ito, Yoshio
PATENT ASSIGNEE(S): Sagami Chemical Research Center, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 27 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04211783	A2	19920803	JP 1990-359828	19901220
JP 3 [illegible]	B2	20000925		
PRIORITY APPLN. INFO.:			JP 1990-359828	19901220
OTHER SOURCE(S):		MARPAT 117:194827		

GI

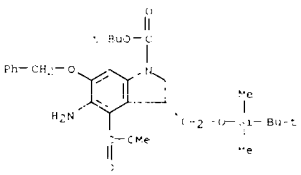


AB The title compds. (I; R1 = H, 1-6 linear or branched alkyl; R2, R4 = H, amino-protecting group; R3, R5 = H, hydroxy-protecting group) and their intermediates II and III; R3, R5, R10 = H, hydroxy-protecting group; R6 = H, C1-6 linear or branched alkyl; R7 = (un)substituted amino; R8 = H, amino-protecting group] are prepd. I are useful as intermediates for the anti-cancer agents duocarmycin A, B1, C1 (pyrindamycin B), and C2 (pyrindamycin A). Thus, oxidn. of III (R5 = PhCH2, R8 = CO2CMe3, R10 = SiMe2CMe3) (prepn. given) with m-ClC6H4CO3OH in CH2Cl2 and methanolysis of the resulting acetic acid anhydride deriv. in the presence of K2CO3 gave II (R3 = H, R5 = R6 = Me, R7 = -2H, R8 = CO2CMe3, R9 = SiMe2CMe3). Alkylation of this with BrCHMeCO2Me in the presence of Cs2CO3 in DMF and formation of the resultant II (R7 = NHCHMeCO2Me; R3, R6-R8 = same as

L5 ANSWER 28 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)
above) gave II (R7 = N(CH3)CHMeCO2Me; R3, R6-R8 = same as above), which was cyclized by treatment with Me2CHZnL in DMF at -78.degree. for 5.5 h to give (2R*,8S* - and (2S*,8S*)-I (R1 = Me, R2 = CHO, R3 = PhCH2, R4 = CO2CMe3, R5 = SiMe2CMe3). These were converted into di-duocarmycin A or -epiduocarmycin A.

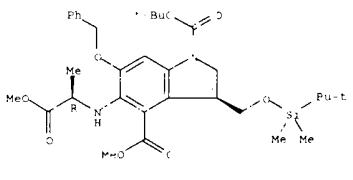
IT **132628-64-9P 132628-65-0P 132628-66-1P**
132628-74-1P 132628-75-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for duocarmycins or pyrindamycins)

RN 132628-64-9 CAPLUS
CN 1H-Indole-1,4-dicarboxylic acid, 5-amino-3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2,3-dihydro-6-(phenylmethoxy)-, 1-(1,1-dimethylethyl) 4-methyl ester (9CI) (CA INDEX NAME)



RN 132628-65-0 CAPLUS
CN 1H-Indole-1,4-dicarboxylic acid, 3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2,3-dihydro-5-[[(2-methoxy-1-methyl-2-oxoethyl)amino]-6-(phenylmethoxy)-, 1-(1,1-dimethylethyl) 4-methyl ester, (R*,S*)- (9CI) (CA INDEX NAME)

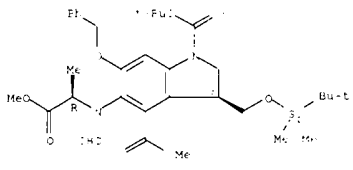
Relative stereochemistry



RN 132628-66-1 CAPLUS
CN 1H-Indole-1,4-dicarboxylic acid, 3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-5-[formyl-2-methoxy-1-methyl-2-oxoethyl)amino]-2,3-dihydro-6-(phenylmethoxy)-, 1-(1,1-dimethylethyl) 4-methyl ester, (R*,S*)- (9CI) (CA INDEX NAME)

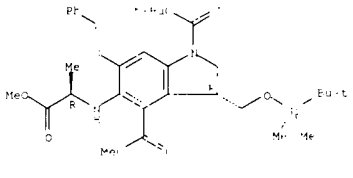
Relative stereochemistry.

L5 ANSWER 29 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)



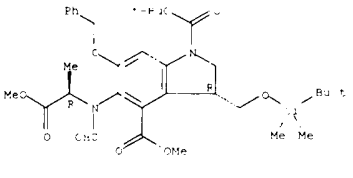
RN 132629-73-1 CAPLUS
CN 1H-Indole-1,4-dicarboxylic acid, 3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2,3-dihydro-5-[[(2-methoxy-1-methyl-2-oxoethyl)amino]-6-(phenylmethoxy)-, 1-(1,1-dimethylethyl) 4-methyl ester, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry



RN 132629-74-2 CAPLUS
CN 1H-Indole-1,4-dicarboxylic acid, 3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2,3-dihydro-5-[[(2-methoxy-1-methyl-2-oxoethyl)amino]-6-(phenylmethoxy)-, 1-(1,1-dimethylethyl) 4-methyl ester, (R*,R*)- (9CI) (CA INDEX NAME)

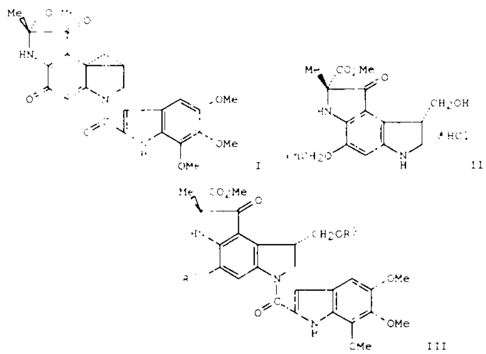
Relative stereochemistry.



L5 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:591788 CAPLUS
DOCUMENT NUMBER: 117:191589
TITLE: Preparation of 2-epiduocarmycin A as antitumor agent
INVENTOR(S): Terajima, Atsuo; Fukuda, Yasumichi; Nakatani, Kazuhiko; Ito, Yoshio
PATENT ASSIGNEE(S): Zaidan Hojin Sagami Chuo Kagaku Kenkyusho, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 24 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

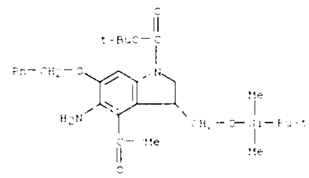
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04099774	A	19920331	JP 1990-213741	19900814

PRIORITY APPLN. INFO.: JP 1990-213741 19900814
OTHER SOURCE(S): MARPAT 117:191589
GI



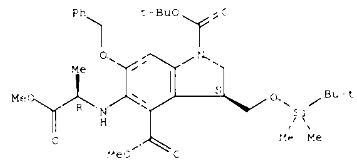
AB 2-Epiduocarmycin A (I) and its intermediates are prepd. Condensation of (2S,8S)-II with 5,6,7-trimethoxy-1H-indole-2-carboxylic acid and 1-(3-dimethylaminopropyl)ethylcarbodiimide HCl in DMF gave 62% indolyl deriv. (2S,8S)-III (R2 = PhCH2, R3 = H), which was mesylated with MeSO2Cl in CH2Cl2 to give the mesylate (2S,8S)-III (R2 = PhCH2, R3 = MeSO2) (IV). Hydrogenolysis of IV over 10% Pd/C gave 80% phenolic deriv. (2S,8S)-III (R2 = H, R3 = MeSO2), which was treated with NaH (50% oil dispersion) in THF with stirring at room temp. to give 56% (DL)-I, which showed IC50 of 1.7 times 10-4 μg/mL against P-388 leukemic cells.

L5 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)
IT 132628-64-9P 132628-65-0P 132628-66-1P
132628-74-1P 132628-75-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of antitumor agent)
RN 132628-64-9 CAPLUS
CN 1H-Indole-1,4-dicarboxylic acid, 5-amino-3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2,3-dihydro-6-(phenylmethoxy)-, 1-(1,1-dimethylethyl) 4-methyl ester (9CI) (CA INDEX NAME)



RN 132628-65-0 CAPLUS
CN 1H-Indole-1,4-dicarboxylic acid, 3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2,3-dihydro-5-[(2-methoxy-1-methyl-2-oxoethyl)amino]-6-(phenylmethoxy)-, 1-(1,1-dimethylethyl) 4-methyl ester, (R*,S*)- (9CI) (CA INDEX NAME)

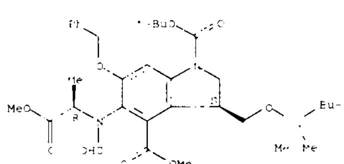
Relative stereochemistry.



RN 132628-66-1 CAPLUS
CN 1H-Indole-1,4-dicarboxylic acid, 3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-5-[formyl(2-methoxy-1-methyl-2-oxoethyl)amino]-2,3-dihydro-6-(phenylmethoxy)-, 1-(1,1-dimethylethyl) 4-methyl ester, (R*,S*)- (9CI) (CA INDEX NAME)

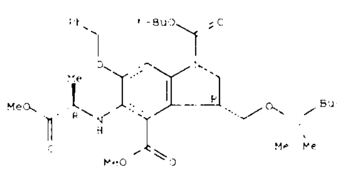
Relative stereochemistry.

L5 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)



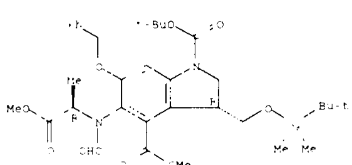
RN 132628-74-1 CAPLUS
CN 1H-Indole-1,4-dicarboxylic acid, 3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2,3-dihydro-5-[(2-methoxy-1-methyl-2-oxoethyl)amino]-6-(phenylmethoxy)-, 1-(1,1-dimethylethyl) 4-methyl ester, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 132628-75-2 CAPLUS
CN 1H-Indole-1,4-dicarboxylic acid, 3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-5-[formyl(2-methoxy-1-methyl-2-oxoethyl)amino]-2,3-dihydro-6-(phenylmethoxy)-, 1-(1,1-dimethylethyl) 4-methyl ester, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L5 ANSWER 31 OF 43 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:448028 CAPLUS
DOCUMENT NUMBER: 117:48028
TITLE: Preparation of novel methotrexate derivatives as drugs
INVENTOR(S): Ohi, Nobuhiro; Matsuoka, Hiroharu; Miyamoto, Katsuhito; Suzuki, Hiroshi; Kato, Nobuaki; Tsuji, Keiichiro; Takeda, Yasuhisa; Mihara, Masahiko; Nishina, Hiromichi; et al.
PATENT ASSIGNEE(S): Chugai Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

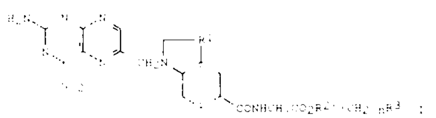
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9203436	A1	19920305	WO 1991-JP1078	19910814
W: AT, AU, BB, BG, BR, CA, CH, DE, DK, ES, FI, GB, HU, KP, LK, LU, MC, MG, MW, NL, NO, PL, RO, SD, SE, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
JP 04351785	A2	19921207	JP 1991-228158	19910530
JP 04164385	A2	19920622	JP 1991-247141	19910612
JP 05132485	A2	19930528	JP 1991-288243	19910813
JP 3114932	B2	20001211		
CA 2088665	AA	19920215	CA 1991-2088665	19910814
CA 2088665	C	20010501		
AU 9183332	A1	19920317	AU 1991-83332	19910814
CN 1059725	A	19920325	CN 1991-105893	19910814
CN 1032658	B	19960821		
ZA 9106419	A	19920527	ZA 1991-6419	19910814
EP 543997	A1	19930602	EP 1991-914615	19910814
EP 543997	B1	19991208		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
HU 64974	A2	19940328	HU 1994-93702	19910814
RU 2119916	C1	19981027	RU 1993-5141	19910814
AT 187455	E	19991215	AT 1991-914615	19910814
ES 2141710	T3	20000401	ES 1991-914615	19910814
JP 05202047	A2	19930810	JP 1992-214465	19920702
JP 3120469	B2	20001025		
JP 05194231	A2	19930803	JP 1992-207555	19920722
JP 3387943	B2	20030317		
US 5354753	A	19941011	US 1993-971773	19930212

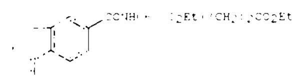
PRIORITY APPLN. INFO.:

JP 1990-214691	A	19900814
JP 1990-215639	A	19900815
JP 1990-253466	A	19900921
JP 1990-293117	A	19901030
JP 1990-331845	A	19901129
JP 1991-107616	A	19910419
JP 1991-185943	A	19910423
JP 1991-228158	A	19910530
JP 1991-247141	A	19910612
JP 1991-258931	A	19910703
JP 1991-279147	A	19910731
WO 1991-JP1078	A	19910814

OTHER SOURCE(S): MARPAT 117:48028
GI

L5 ANSWER 31 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)





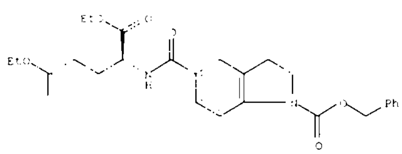
II

AB Methotrexate derivs. I (R1 = CH2, CH2CH2, CH2O, CH2S, CH2SO; R2 = H, C1-4
alkyl, Ph(CH2)2; R3 = ..R4, NHCOR5, CONR6R7 where in R4 = H, C1-4 alkyl; R5
= (substituted) Ph; R6 = H, C1-4 alkyl; R7 = C1-4 alkyl, (substituted)
Ph,
... n = 1-4), useful as antirheumatics, anticancer agents, and in
treating psoriasis, are prepd. A mixt. of 214 mg diester II (prepn.
given) and 250 mg 6-bromomethyl 2,4-diaminopteridine HBr MeCHOH in DMF
was treated with Et3N to give 200 mg diester I (R1 = CH2, R2 = Et, R3 =
CO2Et, n = 2), which (170 mg) was sapond. to give 130 mg diacid I (R1 =
CH2, R2 = H, R3 = CO2H, n = 2), which was effective in treating
rheumatism, psoriasis, and mouse lymphoid neoplasm P-388, and colon 26
carcinoma.

IT **142165-64-8P 142165-66-0P 142165-67-1P**
142165-68-2P 142165-71-7P 142165-75-1P
142165-79-5P 142165-81-9P 142165-82-0P
142165-83-1P 142165-90-0P 142165-94-4P
142166-06-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and reaction of, in prepn. of methotrexate deriv.)

RN 142165-64-8 CAPLUS
CN Glutamic acid, N-[[2,3-dihydro-1-[(phenylmethoxy)carbonyl]-1H-indol-5-yl]carbonyl]-, diethyl ester (9CI) (CA INDEX NAME)

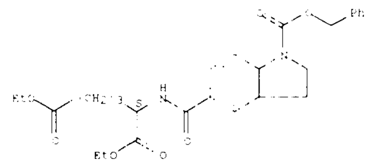
Absolute stereochemistry.



RN 142165-66-0 CAPLUS

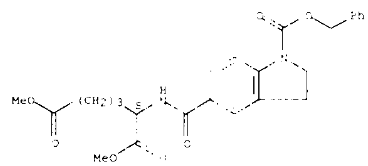
L5 ANSWER 31 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN Hexanedioic acid,
2-[[[2,3-dihydro-1-[(phenylmethoxy)carbonyl]-1H-indol-5-yl]carbonyl]amino]-, diethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



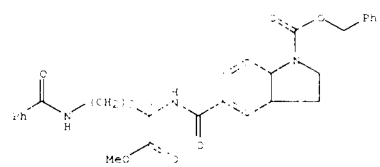
RN 142165-67-1 CAPLUS
CN Hexanedioic acid,
2-[[[2,3-dihydro-1-[(phenylmethoxy)carbonyl]-1H-indol-5-yl]carbonyl]amino]-, dimethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 142165-68-2 CAPLUS
CN 1H-Indole-1-carboxylic acid, 5-[[[4-(benzoylamino)-1-(methoxycarbonyl)butyl]amino]carbonyl]-2,3-dihydro-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

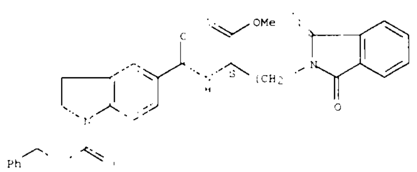
Absolute stereochemistry.



RN 142165-71-7 CAPLUS

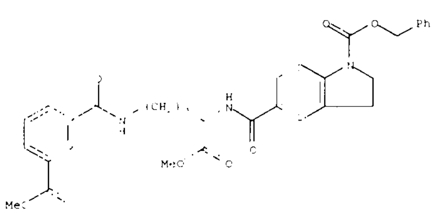
L5 ANSWER 31 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 1H-Isoindole-2-pentanoic acid, alpha-[[[2,3-dihydro-1-[(phenylmethoxy)carbonyl]-1H-indol-5-yl]carbonyl]amino]-1,3-dihydro-1,3-dioxo-, methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 142165-75-1 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-5-[[[1-(methoxycarbonyl)-4-[[3-(methoxycarbonyl)benzoyl]amino]butyl]amino]carbonyl]-, phenylmethyl
ester,
(S)- (9CI) (CA INDEX NAME)

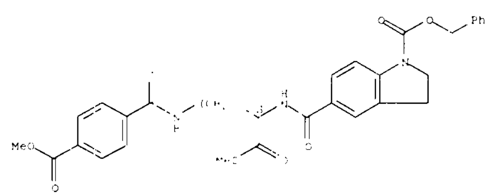
Absolute stereochemistry.



RN 142165-79-5 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-5-[[[1-(methoxycarbonyl)-4-[[4-(methoxycarbonyl)benzoyl]amino]butyl]amino]carbonyl]-, phenylmethyl
ester,
(S)- (9CI) (CA INDEX NAME)

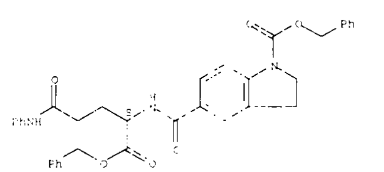
Absolute stereochemistry.

L5 ANSWER 31 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)



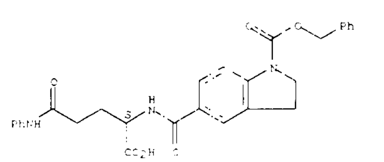
RN 142165-81-9 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-5-[[[4-oxo-4-(phenylamino)-1-[(phenylmethoxy)carbonyl]butyl]amino]carbonyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 142165-82-0 CAPLUS
CN 1H-Indole-1-carboxylic acid, 5-[[[1-carboxy-4-oxo-4-(phenylamino)butyl]amino]carbonyl]-2,3-dihydro-, 1-(phenylmethyl) ester, (S)- (9CI) (CA INDEX NAME)

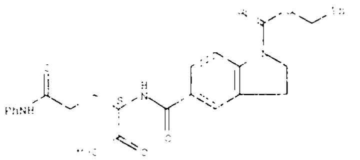
Absolute stereochemistry.



RN 142165-83-1 CAPLUS
CN 1H-Indole-1-carboxylic acid,
2,3-dihydro-5-[[[1-(methoxycarbonyl)-4-oxo-4-(phenylamino)butyl]amino]carbonyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

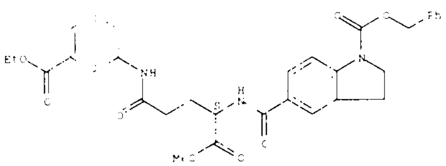
L5 ANSWER [illegible] OF 43 CAPLUS COPYRIGHT [illegible] ACS (Continued)

Absolute stereochemistry.



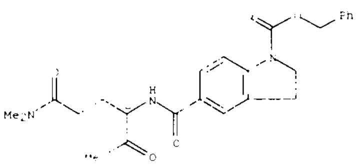
RN 1421[illegible] CAPLUS
CN 1H-[illegible]-1-carboxylic acid, [illegible] [[4 [[3-(ethoxycarbonyl)phenyl]amino] [illegible] methyl [illegible] carbonyl [illegible] [illegible]amino]carbonyl] 2,3 dihydro , phenylmethyl ester [illegible] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 142[illegible] CAPLUS
CN 1H-[illegible] 1-carboxylic acid,
5-[[4 dimethylamino [illegible] methoxycarbonyl -4-oxobutyl amino]carbonyl -2,3-dihydro , phenylmethyl ester, (S)- (9CI CA INDEX NAME)

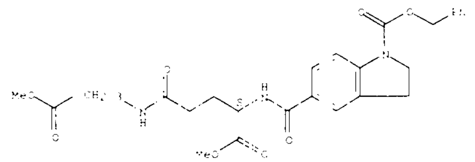
Absolute stereochemistry.



RN [illegible] CAPLUS
CN 1H-[illegible] 1-carboxylic acid, 2,3 dihydro-5-[[1-(methoxycarbonyl)-4-[(4-

L5 ANSWER [illegible] OF 43 CAPLUS COPYRIGHT [illegible] ACS (Continued)
methoxy 4 oxobutyl amino] 4 oxobutyl]amino]carbonyl , phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER [illegible] OF 43 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:6407[illegible] CAPLUS
DOCUMENT NUMBER: 116:64[illegible]
TITLE: Preparation and formulation of 6-acylhexahydrobenz[c d]indoles as 5-HT1A receptor ligands
INVENTOR(S): Kress, Thomas Joseph; Martinelli, Michael John; [illegible]augh Michael Edward Leanna, Marvin Robert; Peterson, Barry [illegible]urtis Foreman, Mark Mortensen
PATENT ASSIGNEE(S): Lilly, Eli and Co. USA
SOURCE: Eur. Pat. Appl., 45 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT 3
PATENT INFORMATION:

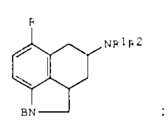
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 444[illegible]4	A1	199[illegible]	EP 199[illegible]-301503	1991022[illegible]
EP 4449[illegible]4	A[illegible]	199[illegible]0226		
EP 4449[illegible]4	B1	199[illegible]0925		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5[illegible]	A	199[illegible]	US 1990-482811	1990226
[illegible]	A1	19960514	IL 199[illegible]-[illegible]027	1991022[illegible]
IL 9[illegible]	A1	1996102[illegible]	IL 199[illegible]-97308	1991022[illegible]
FI 91 [illegible]896	A	1991082[illegible]	FI 199[illegible]-896	199[illegible]0225
NO 91[illegible]	A	1991082[illegible]	NO 199[illegible]-7[illegible]	19910225
NO [illegible]7	B	19950213		
NO [illegible]7	C	1995052[illegible]		
AU 9[illegible]	A1	199[illegible]0829	AU 199[illegible]-71[illegible]	19910225
AU 64[illegible]9	B2	19940[illegible]		
CN [illegible]	A	199[illegible]091[illegible]	CN 199[illegible]-10[illegible]188	199[illegible]0225
CN [illegible]	B	1996071[illegible]		
HU 5[illegible]	A2	199[illegible]	HU 199[illegible]-62[illegible]	19910225
ZA 9[illegible]	A	19921125	ZA 199[illegible]-1[illegible]60	19910225
HU 6[illegible]	A2	19950529	HU 1992-3625	19910225
HU [illegible]8	B	20000628		
RU 2[illegible]	C1	1995082[illegible]	RU 199[illegible]-4894727	19911225
CA 2[illegible]	AA	1991082[illegible]	CA 199[illegible]-2037100	19910226
JP 04[illegible]694	A2	1992080[illegible]	JP 199[illegible]-55929	19910226
JP 3[illegible]9[illegible]	B2	20010219		
BR 91[illegible]69	A	1993081[illegible]	BR 199[illegible]-769	19910226
AT 1[illegible]2	E	19961015	AT 199[illegible]-301503	19910226
ES 2[illegible]	T3	19970201	ES 199[illegible]-301503	19910226
US 54[illegible]	A	1995101[illegible]	US 199[illegible]-992410	19921215
US 5[illegible]	A	19940412	US 199[illegible]-68561	199[illegible]0527
US 5[illegible]	A	19951128	US 1994-221439	19940330
US 5[illegible]245	A	19960924	US 1995-527150	1995[illegible]912

PRIORITY APPLN. INFO.:

US 1990-482811	A	1990226
US 1990-485194	A	1990226
US 1990-567986	A	19900815
US 1989-336409	B2	19890411
IL 1991-97309	A3	1991022[illegible]
HU 1991-[illegible]	A	19910225
US 1991-799824	A3	19911126
US 1992-863803	B1	19920513
US 1993-36599	B1	19930324
US 1993-68561	A1	19930527
US 1994-249619	B3	1994[illegible]812

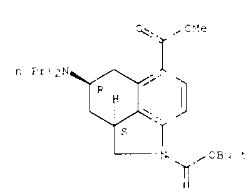
OTHER SOURCE(S): MARPAT 116:64[illegible]

L5 ANSWER 32 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)
GI



AB The title compds. I; B = H, alkyl amino-blocking group; R = C(:X)Q; Q = H, OR3, SR3, NR5R6; R1 = H, phenylalkyl, alkyl, alkenyl, cyclopropylmethyl, etc.; R2 = H, alkyl, alkenyl, cyclopropylmethyl; R3 = cycloalkyl, (un)substituted (ar)alkyl, aryl; R5, R6 = H, (phenyl)alkyl, Ph; NR5R6 = heterocyclyl; X = O, S] were prepd. Thus, I (R1 = R2 = Pr) (II; B = Bz, R = iodo) was converted in 2 steps to II (B = CO2CMe3, R = iodo) which was carbonylated and the product oxidized to give, after deprotection, II (B = H, R = CO2Me). The latter had IC50 of 5.7 nM against 8-hydroxy-2-dipropylamino-1,2,3,4-tetrahydronaphthalene binding at 5 HT1A receptors in vitro.
IT **137783-33-6P 137783-35-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of serotonin receptor ligands)
RN 137783-33-6 CAPLUS
CN Benz[cd]indole-1,6(2H)-dicarboxylic acid, 4-(dipropylamino)-2a,3,4,5-tetrahydro-, 1-(1,1-dimethylethyl) 6-methyl ester, (2aS-trans)- (9CI) (CA INDEX NAME)

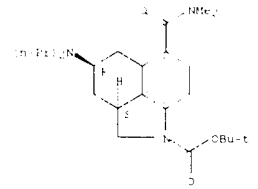
Absolute stereochemistry.



RN 137783-35-8 CAPLUS
CN Benz[cd]indole-1(2H)-carboxylic acid, 6-[(dimethylamino)carbonyl]-4-(dipropylamino)-2a,3,4,5-tetrahydro-, 1,1-dimethylethyl ester, (2aS-trans)- (9CI) (CA INDEX NAME)

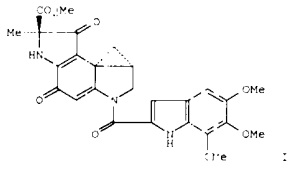
Absolute stereochemistry.

L5 ANSWER 42 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)

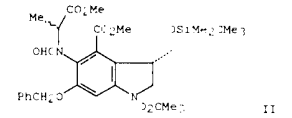


L5 ANSWER 43 OF 43 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1991:142962 CAPLUS
DOCUMENT NUMBER: 114:142962
TITLE: First total synthesis of (+)-duocarmycin A
AUTHOR(S): Fukuda, Yasumichi; Nakatani, Kazuhiko; Ito, Yoshio; Terashima, Shiro
CORPORATE SOURCE: Sagami Chem. Res. Cent., Sagamihara, 229, Japan
SOURCE: Tetrahedron Letters (1990), 31(48), 6999-702
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 114:142962
GI



I



II

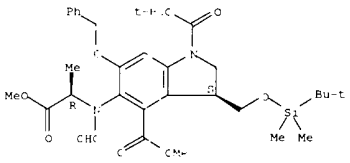
AB Synthesis of the title compd. (I) was achieved by featuring introduction of a methoxycarbonyl group into the C-4 position of a 5-aminoindoline nucleus by way of an isatin deriv. and subsequent ring closure to a Me 2-methylincroxyl-2-carboxylate system by the Dieckmann cyclization the indolylformamide II.

IT **132628-66-1P 132628-75-2P**
RL: RCT (Reactant), SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and Dieckmann cyclization of)

RN 132628-66-1 CAPLUS
CN 1H-Indole-1,4-dicarboxylic acid, 3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-5-formyl-2-methoxy-1-methyl-2-oxoethyl)amino]-2,3-dihydro-6-(phenylmethoxy)-, 1-(1,1-dimethylethyl) 4-methyl ester, (R*,S*)- (9CI) (CA INDEX NAME)

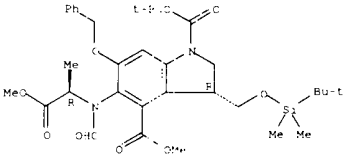
Relative stereochemistry.

L5 ANSWER 33 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)



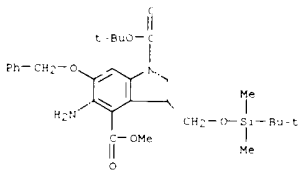
RN 132628-75-2 CAPLUS
CN 1H-Indole-1,4-dicarboxylic acid, 3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-5-[formyl(2-methoxy-1-methyl-2-oxoethyl)amino]-2,3-dihydro-6-(phenylmethoxy)-, 1-(1,1-dimethylethyl) 4-methyl ester, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT **132628-64-9P**
RL: RCT (Reactant), SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and alkylation of, with Me bromopropionate)

RN 132628-64-9 CAPLUS
CN 1H-Indole-1,4-dicarboxylic acid, 5-amino-3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2,3-dihydro-6-(phenylmethoxy)-, 1-(1,1-dimethylethyl) 4-methyl ester (9CI) (CA INDEX NAME)

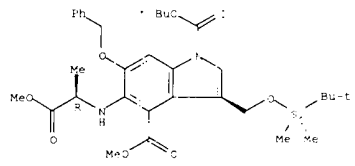


L5 ANSWER 33 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)

IT **132628-65-0P 132628-74-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and formylation of)

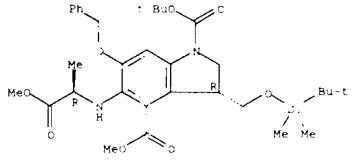
RN 132628-65-0 CAPLUS
CN 1H-Indole-1,4-dicarboxylic acid, 3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2,3-dihydro-5-[(2-methoxy-1-methyl-2-oxoethyl)amino]-6-(phenylmethoxy)-, 1-(1,1-dimethylethyl) 4-methyl ester, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 132628-74-1 CAPLUS
CN 1H-Indole-1,4-dicarboxylic acid, 3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2,3-dihydro-5-[(2-methoxy-1-methyl-2-oxoethyl)amino]-6-(phenylmethoxy)-, 1-(1,1-dimethylethyl) 4-methyl ester, (R*,R*)- (9CI) (CA INDEX NAME)

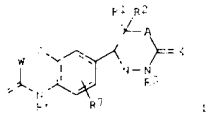
Relative stereochemistry.



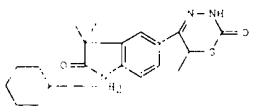
L5 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1990:621...6 CAPLUS
DOCUMENT NUMBER: 114:62126
TITLE: Preparation of oxindolylthiadiazinones and related compounds as phosphodiesterase inhibitors
INVENTOR(S): Nadler, Guy; Martin, Michel; Zimmermann, Richard
PATENT ASSIGNEE(S): Laboratoires Beecham S. A., Fr.
SOURCE: Eur. Pat. Appl., 52 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP [illegible]	A1	19900808	EP 1990-300778	19900125
R: AT, CH, DE, FR, GB, IT, LI, NL				
JP [illegible]	A2	19901108	JP 1990-15166	19900126
PRIORITY APPLN. INFO.:			GB 1989-1836	19890127
OTHER SOURCE(S):		MARPAT 114:62126		

GI



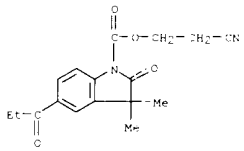
I



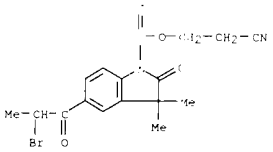
II

AB Title compds. I [R1 = H, C1-6 alkyl, CH2OR6, R6 = Ph-substituted amino acetonyl, Ph-substituted alkyl; R2, R3 = H, C1-6 alkyl; W, Z = CR4R5, NR4; R4 = H, C1-3 alkyl, C1-3 alkylthio, etc.; R5 = C1-3 alkyl, (substituted) Ph, PhO, etc.; R4R5 = 3-6-membered carbocyclyl or heterocyclyl, A-O, CH2, R4, R5 = H, C1-3 alkyl; n = 0, 1; R7 = H, C1-6 alkyl; Y = O, S; X = O, S, A = 5, 6, NH] phosphodiesterase inhibitors useful for treatment of heart disease and asthma, are prepd. e.g., 2-(2-bromo-1-oxopropyl)-1,2-dihydro-3,3-dimethyl-2H-indole-2-one (prepn. given), MeNCS, o-MeC6H4NH2 were refluxed in EtOH to give the thiadiazinone II. Inhibition of cardiac phosphodiesterase was demonstrated with II at 3 × 10-5 M, resulting in 44% ATPase activity of 1.
IT **131609-32-0P 131609-33-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

L5 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)
prepn. and reaction of, as phosphodiesterase inhibitors.
RN 131609-32-0 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-3,3-dimethyl-2-oxo-5-[1-oxopropyl]-, 2-cyanoethyl ester (9CI) (CA INDEX NAME)



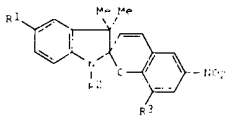
RN 131609-33-1 CAPLUS
CN 1H-Indole-1-carboxylic acid, 5-(2-bromo-1-oxopropyl)-2,3-dihydro-3,3-dimethyl-2-oxo-, 2-cyanoethyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 35 OF 43 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1990:129...24 CAPLUS
DOCUMENT NUMBER: 112:16129
TITLE: Thermochromic recording materials
INVENTOR(S): Fujimura, Yasuo; Sakai, Isoji; Yamada, Tsuguo; Hosoi, Heiichi
PATENT ASSIGNEE(S): Nitto Denki Corp., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP [illegible]e87	A2	19890[illegible]	JP 1988-5[illegible]17	19880207
PRIORITY APPLN. INFO.:			JP 1988-5[illegible]17	19880207

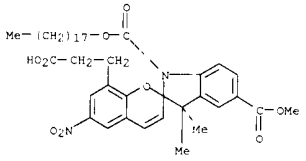
GI



I

AB Title complex. I [R1 = H, halo, alkyl, alkoxy, alkoxycarbonyl; R2 = alkyl, alkanoyloxymethyl, alkoxy, alkoxycarbonyl, alkoxycarbonylalkyl, carboxyalkyl, hydroxyalkyl, alkylphenyl with C8-30 C chain; R3 = H, or 1-3 groups as defined for R2 and polymers that dissolves or disperses in developable color] and color elimination are carried out with or without paraffin additives. Thus a 1-mm recording layer was formed on a glass substrate by coating a soln. contg. 0.2 g spiropyran compd. I (R1 = H, R2 = C6H13, R3 = C12H25OCOC2H4) and 1 g PMMA, and drying. Irradn. of the plate with 365 nm UV light produced stable absorption max. at 6.8 nm, and thermal recording on this plate (130-140.degree., 0.1 s) eliminated this absorption. Instead, the plate exposed to UV produced a new 550 nm absorption, and recording with thermal head at 40.degree. gave stable intense absorption at 6.8 nm which was eliminated thermally as in the former example.
IT **125677-44-3**
RL: USES (Uses)
(thermochromism of light-sensitive, reversible optical recording materials contg.)
RN 125677-44-3 CAPLUS
CN Spiro[2H-1-benzopyran-2,2'-[2H]indole]-1',5'(3'H)-dicarboxylic acid, 6-(2-carboxyethyl)-1',3'-dimethyl-6-nitro-, 5'-methyl 1'-octadecyl ester (9CI) (CA INDEX NAME)

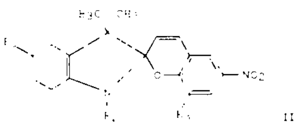
L5 ANSWER 35 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)



L5 ANSWER [illegible] OF 43 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1988:[illegible] CAPLUS
DOCUMENT NUMBER: [illegible]
TITLE: Spiropyran photochromic material and its [illegible]
INVENTOR(S): Omote, Masami; Fujimura, Yasuo; Sakai, Isoo; Yamada, Tsugio; Koseki, Kenichi
PATENT ASSIGNEE(S): Nitto Denko Corp., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63[illegible]	A2	19880829	JP 1987-39624	19870223

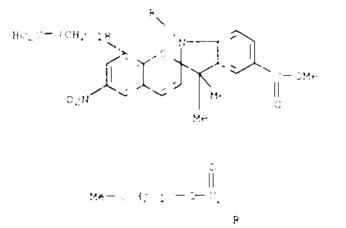
PRIORITY APPLN. INFO.: JP 1987-39624 19870223
OTHER SOURCE(S): MARPAT [illegible]
GI



II

AB In the title photochromic material, a spiropyran deriv. I [R1 = H, halo, alkyl, alkoxy, or alkoxycarbonyl; R2, R3 = C8-30 group selected from alkyl, alkanoyl, [illegible]methyl alkoxy, akoxycarbonyl, alkoxycarbonylalkyl, carboxyalkyl, hydroxyalkyl and alkylphenyl] is dissolved or dispersed. The coloration involves irradn. with UV at 35-50.degree. or irradn. with UV at a temp. below the above temp. and warming up to the above temp. This material is useful in fabricating memory devices, copying materials, photosensitive materials for printing or photog., optical filters, masking materials, and display devices.
IT **118879-65-5**
RL: USES (Uses)
(photochromic material contg.)
RN 118879-65-5 CAPLUS
CN Spiro[2H-1-benzopyran-2,2'-[2H]indole]-1',5'(3'H)-dicarboxylic acid, [illegible]-18-carboxyoctadecyl-3',3'-dimethyl-6-nitro-, 5'-methyl 1'-octadecyl ester [illegible] (CA INDEX NAME)

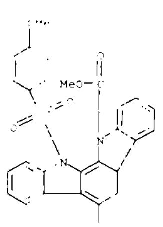
L5 ANSWER [illegible] OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)



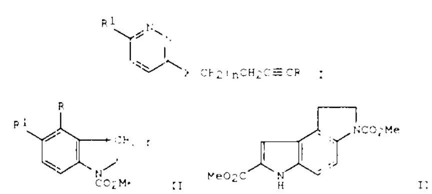
L5 ANSWER [illegible] OF 43 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1985:[illegible]2501 CAPLUS
DOCUMENT NUMBER: 102:62501
TITLE: Indole-2,3-quinodimethanes. Synthesis of selectively protected derivatives of the fused dimeric indole alkaloid staurosporinone
AUTHOR(S): Magnus, Philip D.; Sear, Nancy L.
CORPORATE SOURCE: Dep. Chem., Indiana Univ., Bloomington, IN, 47405, USA
SOURCE: Tetrahedron (1984), 40(14), 27[illegible]5-[illegible]
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The imine I was converted via an indole-2,3-quinodimethane cyclization to the hexahydroindolocarbazole II, which was further converted to the [illegible]carbomethoxy deriv. of staurosporinone (III).
IT **94475-51-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cyclization with phosgene, indolylpyrrolocarbazole deriv. from)
RN 94475-51-1 CAPLUS
CN Indolo[2,3-a]carbazole-11(12H)-carboxylic acid, 5-(aminomethyl)-12-[(4-methoxyphenyl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

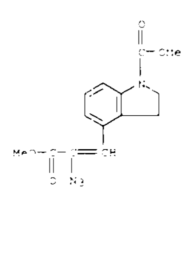


L5 ANSWER 3[illegible] OF 43 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1984:406910 CAPLUS
DOCUMENT NUMBER: 101:6910
TITLE: Intramolecular Diels-Alder reactions of 1,2-diazines: general indoline synthesis. Studies on the preparation of the central and right-hand segments of CC-1065
AUTHOR(S): Boger, Dale L.; Coleman, Robert S.
CORPORATE SOURCE: Dep. Med. Chem., Univ. Kansas, Lawrence, KS, 66045, USA
SOURCE: Journal of Organic Chemistry (1984), 49(12), 2240-5
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



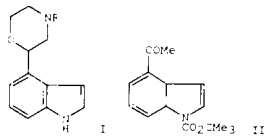
II III

AB The pyridazines I (X = O, NCO2Me; R = H, Me, CH2OSiMe2CMe3; R1 = H, Cl; n = 1-3) were prepd. from 3,6-dichloropyridazine. Some I underwent intramol. Diels-Alder reaction to give the indolines II. Oxidn. of II (R = CH2OSiMe2CMe3, R1 = H, n = 1) to the aldehyde, reaction with N3CH2CO2Me, and cyclization gave the CC-1065 fragment III.
IT **89875-42-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and ring closure of)
RN 89875-42-3 CAPLUS
CN 1H-Indole-1-carboxylic acid, 4-(2-azido-3-methoxy-3-oxo-1-propenyl)-2,3-dihydro-, methyl ester (9CI) (CA INDEX NAME)

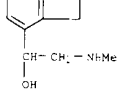


L5 ANSWER 38 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)

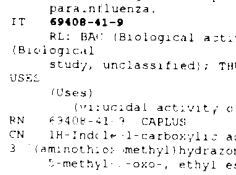
L5 ANSWER 39 OF 43 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1964:64427 CAPLUS
DOCUMENT NUMBER: 60:64427
TITLE: Synthesis of 4-(4-alkyl-2-morpholinyl)indoles
AUTHOR(S): Clark, Robin L.
CORPORATE SOURCE: Inst. Org. Chem., Syntex Res., Palo Alto, CA, 94304, USA
SOURCE: Journal of Heterocyclic Chemistry (1964), 1(3), 193-5
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 60:64427
GI



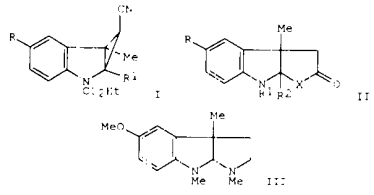
AB N-Substituted 4-(2-morpholinyl)indoles I (R = Me, Et, Pr) were prepd. from
4-acetylindole (II) which was itself prepd. from 4-cyanoindole. Bromination of ketone II followed by reaction with amines and subsequent NaBH4 redn., gave amino alcs. These were converted to alpha.-chloro amides that were cyclized to lactams. LiAlH4 redn. served both to remove the protecting group and to reduce the lactams to the 4-(2-morpholinyl indoles.
IT **88059-24-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 88059-24-9 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-4-[1-hydroxy-2-(methylamino)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1979:114952 CAPLUS
DOCUMENT NUMBER: 90:114952
TITLE: Primary screening of viral inhibitors in a tissue culture
AUTHOR(S): Kotyakov, V. I.; Shashikhina, M. N.; Zhavrid, S. V.; Chungietu, G. I.; Rekhter, M. A.; Muntyan, G. E.; Torin, L. M.; Radul, O. M.; Krasovskii, A. N.; et al.
CORPORATE SOURCE: Beloruss. Nauchno-Issled. Inst. Epidemiol. Mikrobiol., Minsk, USSR
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1978), 12(11), 30-4
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB Thirteen isatins, 8 benzimidazoles, 4 theophyllines, 10 thiophenes, 8 cyclopentanones, and 2 propenones were tested for antiviral activity in various cell cultures. One isatin deriv. was effective against parainfluenza and arbovirus and 2 others were effective against arbovirus.
The benzimidazoles were effective mainly against adenovirus. One theophylline deriv. was effective against pox virus and 1 thiophene was effective against herpes. Two cyclopentanones were effective against parainfluenza.
IT **69408-41-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(virucidal activity of)
RN 69408-41-9 CAPLUS
CN 1H-Indole-1-carboxylic acid, 3-[(aminothioxomethyl)hydrazono]-2,3-dihydro-5-methyl-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)

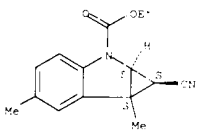


L5 ANSWER 41 OF 43 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1978:7159 CAPLUS
DOCUMENT NUMBER: 88:7159
TITLE: Synthesis of the physostigmine ring system from cycloprop[b]indoles
AUTHOR(S): Ueda, Masazumi; Matsugashita, Saeko; Tamura, Yasumitsu
CORPORATE SOURCE: Fac. Pharm. Sci., Osaka Univ., Osaka, Japan
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1977), (15), 1770-2
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB Et cyanotetrahydrocycloprop[b]indolecarboxylates with 10% KOH in aq. EtOH at 120 3°/degree, gave furo[2,3-b]indoles. E.g., I (R = MeO, R1 = H; gave
69% II (X = C, R = MeO, R1 = R2 = H) (III). (.+-.)-Esermethole (IV) was prepd. from III by sequential methylation, treatment with MeNH2, and LiAlH4 redn. I (R = H, R1 = Me) with polyphosphoric acid gave 85% II (X =
NH, R = H, R1 = CO2Et, R2 = Me).
IT **65166-94-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(alk. hydrolysis of
RN 65166-94-1 CAPLUS
CN Cycloprop[b]indole-2(1H)-carboxylic acid, 1-cyano-1a,6b-dihydro-5,6b-dimethyl-, ethyl ester, (1.alpha.,1a.beta.,6b.beta.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

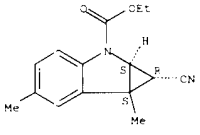


L5 ANSWER 42 OF 43 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 64218-88-8 CAPLUS

CN Cycloprop[b]indole-2(1H)-carboxylic acid, 1-cyano-1a,6b-dihydro-5,6b-dimethyl-, ethyl ester, (1.alpha.,1a.alpha.,6b.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L5 ANSWER 42 OF 43 CAPLUS COPYRIGHT 2003 ACS

AN 1977:64741 CAPLUS

DN 86:64741

TI Photochemistry of ethyl 4-substituted 1-cyano-1a,6b-dihydrocyclopropa[b]indole-2-carboxylates (Reissert compounds). Synthesis of cyclopropa[b]indoles

AU Ikeda, Masazumi; Matsushita, Saeko; Tatusa, Fujio; Tamura, Yasumitsu

CS Fac. Pharm. Sci., Osaka Univ., Osaka, Japan

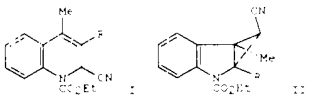
SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1977), (10), 1166-71

CODEN: JCPRB4; ISSN: 0300-922X

DT Journal

LA English

CC



AB Reissert compds. underwent isomerization to cycloprop[b]indoles on irradn.

in EtOH. E.g., irradn. of I (R = H) gave 46% endo cyclopropindole II (R = H). Irradn. of I (R = Me) gave 79% II (R = Me) and 20% of its exo isomer.

II (R = H) was epimerized to its exo isomer by refluxing in decalin, Me2CO-sensitized photolysis, or treatment with BF3.Et2O in refluxing C6H6

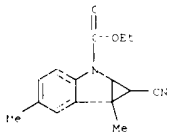
IT **64281-68-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and epimerization of)

RN 64281-68-1 CAPLUS

CN Cycloprop[b]indole-2(1H)-carboxylic acid, 1-cyano-1a,6b-dihydro-5,6b-dimethyl-, ethyl ester, (1.alpha.,1a.beta.,6a.beta.)- (9CI) (CA INDEX NAME)



IT **64218-88-8P**

RL: SPN (Synthetic preparation); PREP (Preparation)

prepn. of

L5 ANSWER 43 OF 43 CAPLUS COPYRIGHT 2003 ACS

AN 1968:401938 CAPLUS

DN 69:51930

TI Preparation of 4-hydroxy- and 4-cyanoindole

AU Pfleiderer, Hans; Klinga, Klaus

CS Univ. Heidelberg, Heidelberg, Fed. Rep. Ger.

SO Chemische Berichte (1968), 101(7), 2405-7

CODEN: CHBEAM; ISSN: 0009-2940

DT Journal

LA German

AB 4-Oxo-4,5,6,7-tetrahydroindole was dehydrogenated with Pd/C to give 4-hydroxyindole in 57% yield. 4-Oxo-1-ethoxycarbonylperhydroindole was converted to the cyanohydrin, which on treatment with Ac2O and H2SO4 gave the 9-acetyl deriv. The acetyl deriv. was treated with SOCl2 and HCONMe2 to give the nitrile, which was dehydrogenated with 2,3-dichloro-5,6-dicyano-1,4-benzoquinone to give 1-ethoxycarbonyl-4-cyanoindole (I). I was brominated with N-bromosuccinimide and dehydrobrominated to give 4-cyanoindole.

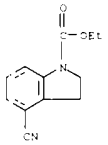
IT **19369-66-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

RN 19369-66-5 CAPLUS

CN 1-Indolinecarboxylic acid, 4-cyano-, ethyl ester (8CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	195.47	348.45

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-27.99	-27.99

FILE 'REGISTRY' ENTERED AT 10:22:14 ON 29 MAY 2003

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DICTIONARY FILE UPDATES: 28 MAY 2003 HIGHEST RN 521913-14-4

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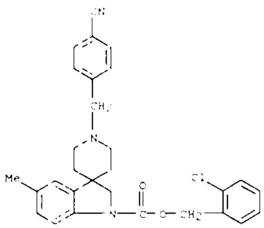
Crossover limits have been increased. See HELP CROSSOVER for details.

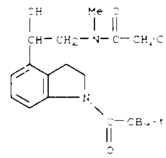
Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:

L6 ANSWER 1 OF 3 REGISTRY COPYRIGHT [illegible] ACS
RN [illegible] REGISTRY
CN Spiro[3H-indole-3,4'-piperidine]-1(2H)-carboxylic acid, 1'-[(4-cyanophenyl)methyl]-5-methyl-, (2-chlorophenyl)methyl ester [illegible] (CA INDEX NAME)
FS [illegible]
MF C2[illegible] H28 Cl N3 O2
SR Chemical Library
LC STN Files: CHEMCATS



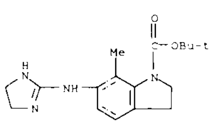
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 ANSWER 2 OF 3 REGISTRY COPYRIGHT [illegible] ACS
RN [illegible] REGISTRY
CN 1H-Indole-1-carboxylic acid, 4-[2-[(chloroacetyl)methylamino]-1-hydroxyethyl]-2,3-dihydro-, 1,1-dimethylethyl ester [illegible] (CA INDEX NAME)
FS [illegible]
MF C18 H25 Cl N2 O4
SR Reaction Database
LC STN Files: CASREACT



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 ANSWER 3 OF 3 REGISTRY COPYRIGHT 2003 ACS
RN [illegible]-97-8 REGISTRY
CN 1H-Indole-1-carboxylic acid, 6-[(4,5-dihydro-1H-imidazol-2-yl)amino]-2,3-dihydro-7-methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C17 H24 N4 O2
CI COM
SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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---Logging off of STN---

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Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	5.44	353.89

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-27.99

STN INTERNATIONAL LOGOFF AT 10:22:32 ON 29 MAY 2003